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TO: Lawrence E Crane
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Art Unit: 1623
Friday, September 05, 2003

Case Serial Number: 10/099620

From: Mary Jane Ruhl
Location: Biotech-Chem Library
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Phone: 605-1155

maryjane.ruhl@uspto.gov

Search Notes

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Here are the results for your recent search request.

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Sincerely,

Mary Jane Ruhl
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605-1155

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Search Request Form

Scientific and Technical Information Center

Requester's Full Name: L. Eric Crane Examiner #: 65753 Date: **09/03/03**
Art Unit: 1623 Phone Number: **308-4639** Serial No. **10/099,620.**
Mail Box & Bldg/Room Loc: 8D-14/CM-1 Results Format Preferred: **PAPER [8B-19/CM-1]**

If more than one search is submitted, please prioritize searches in order of need.

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Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, key words, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known. Please attach a copy of the cover sheet, pertinent claims, and/or abstract..

Title of Invention: See attached copy of claims.
Inventors (please provide full names): See attached copy of claims.
Earliest Priority Filing Date: **03/16/01**

**For Sequence Searches only* Please include all of the pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

Please search structure (I) of claim 1, and particularly the subset of compounds wherein Y = N, X = O (purine nucleoside analogues).

Please also search for administration of the compounds of claim 1 to prevent tissue damage caused by ischemia or hypoxia.

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STAFF USE ONLY	**Type of Search**	**Vendors/cost as applicable**
Searcher: _____	NA Sequence(#) _____	STN _____
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Searcher Location: _____	Structure (#) _____	Questel/Orbit _____
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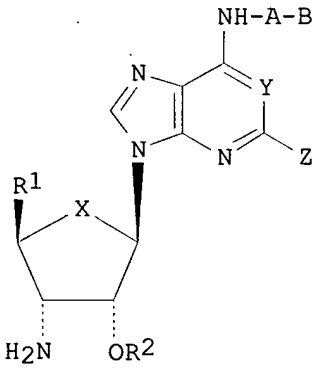
=> d ibib abs hitstr l30 1-5

L30 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:714167 HCAPLUS
DOCUMENT NUMBER: 137:217183
TITLE: Preparation of purine nucleosides as adenosine A3 receptors for the treatment of **ischemia** or **hypoxia**
INVENTOR(S): Deninno, Michael Paul; Masamune, Hiroko
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 55 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1241176	A1	20020918	EP 2002-251174	20020220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2002322193	A2	20021108	JP 2002-62987	20020308
BR 2002000782	A	20030107	BR 2002-782	20020313
US 2003055021	A1	20030320	US 2002-99620	20020315
PRIORITY APPLN. INFO.:			US 2001-276411P P	20010316
OTHER SOURCE(S):		MARPAT 137:217183		

GI



I

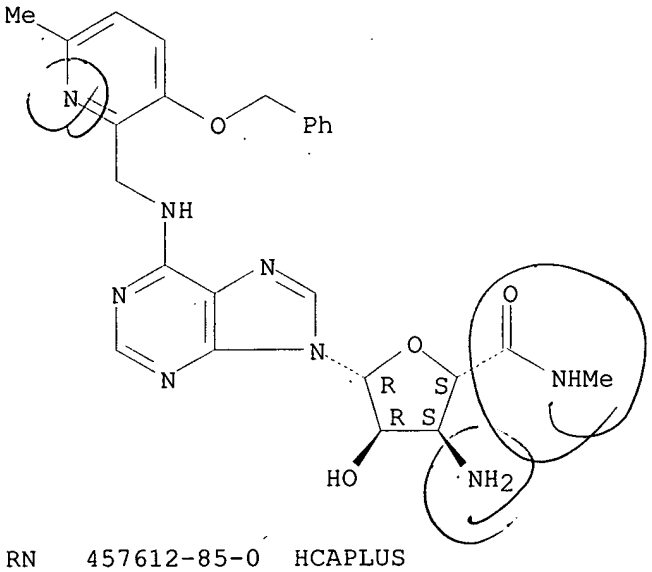
AB A3 agonists purine nucleosides I, wherein X is oxy, methylene, , thio; Y is CH, N; Z is H, alkyl, alkoxy,. CF3, halo; R1 is CH2OH, alkoxymethyl, cycloalkoxymethyl, carboxy, alkoxycarbonyl, cycloalkoxycarbonyl, aminoiminomethyl; R2 is H, alkyl, cycloalkyl,; A is methylene; B is H, heteroaryl, aryl, alkylaryl; are described herein as well as methods of using such A3 agonists and pharmaceutical compns. contg. such A3 agonists. The A3 agonists are useful for the redn. of tissue damage resulting from tissue **ischemia** or **hypoxia**. Thus, (2S,3S,4R,5R)-3-amino-4-hydroxy-5-[6-(3-methoxybenzylamino)purin-9-yl]tetrahydrofuran-2-carboxylic acid methylamide was prepd. as human adenosine A3 receptor (IC50 from 15 nM to 500 nM). The method may include redn. of tissue damage resulting from **ischemia/hypoxia** during organ transplantation.

IT **457612-73-6P 457612-85-0P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of purine nucleosides as adenosine a receptors for the treatment of **ischemia** or **hypoxia**)

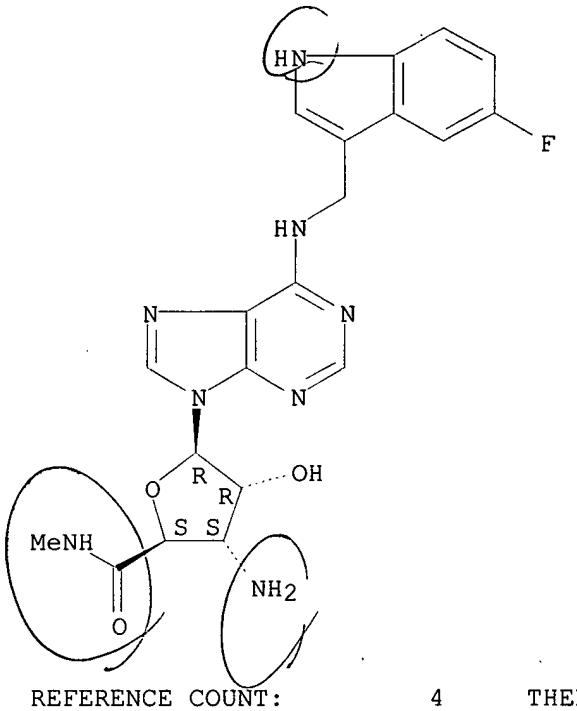
RN 457612-73-6 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1,3-dideoxy-N-methyl-1-[6-[[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]amino]-9H-purin-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 457612-85-0 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1,3-dideoxy-1-[6-[[(5-fluoro-1H-indol-3-yl)methyl]amino]-9H-purin-9-yl]-N-methyl- (9CI) (CA INDEX NAME)

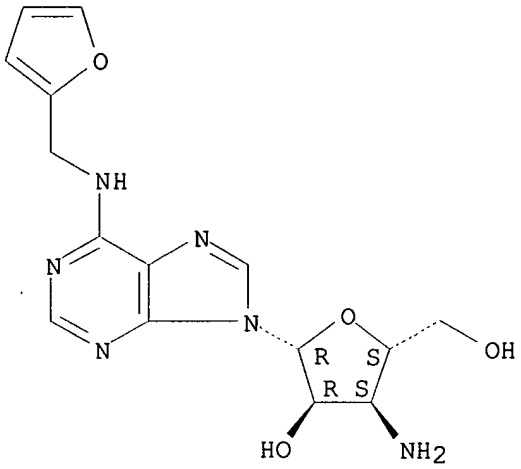
Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1963:428787 HCAPLUS
DOCUMENT NUMBER: 59:28787
ORIGINAL REFERENCE NO.: 59:5251g-h,5252a
TITLE: Synthesis and reactions of 3'-amino-3'-deoxyribosides of 6-chloropurine
AUTHOR(S): Goldma, L.; Marsic, J. W.
CORPORATE SOURCE: Am. Cyanamid Co., Pearl River, NY
SOURCE: Journal of Medicinal Chemistry (1963), 6(4), 413-23
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB Blocked 3'-amino-6-chloronucleosides [I (R = phthalimido,.beta.-anomer) (II) and I (R = AcNH) (III)] were synthesized and found to be excellent intermediates for the prepn. of analogs of the puromycin aminonucleoside (IV). Chloride was displaced from II and III by primary and secondary amines in methanol with simultaneous removal of the O-benzoyl groups. Primary amines removed the N-phthaloyl group of II, whereas secondary amines opened the N-phthaloyl group to produce N,N,N'-trisubstituted phthalamides. Primary amines cleaved the latter phthalamides to produce unblocked 3'-amino-3'-deoxynucleosides. Diisopropylamine failed to displace chloride from II and failed to open the phthalimide function. Several analogs of the puromycin aminonucleoside were found to possess enhanced trypanocidal activity. The application of proton magnetic resonance spectral measurements to detn. of anomeric configuration in ribofuranoses is discussed.
IT **98144-07-1**, Adenosine, 3'-amino-3'-deoxy-N-furfuryl-
(prepn. of)
RN 98144-07-1 HCAPLUS
CN Adenosine, 3'-amino-3'-deoxy-N-furfuryl- (6CI, 7CI) (CA INDEX NAME)

Absolute stereochemistry.



L30 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1959:45326 HCAPLUS
DOCUMENT NUMBER: 53:45326
ORIGINAL REFERENCE NO.: 53:8175d-i,8176a-i,8177a-g
TITLE: Aminodeoxyglycosidopurines
INVENTOR(S): Baker, Bernard R.; Joseph, Joseph P.; Schaub, Robert E.
PATENT ASSIGNEE(S): American Cyanamid Co.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2852505		19580916	US	

AB Potential chemotherapeutic aminonucleosides, aminodeoxyglycosidopurines (I), have been prepd. I are related to the glycosidopurines (nucleosides) but are active in the treatment of Trypanosomiasis in cattle, and may be referred to as aminonucleosides. A new and novel method of prepn. of I is to treat a mixt. contg. a heavy metal salt of a purine and an acylated amino sugar with TiCl4 in an inert hydrocarbon or halogenated hydrocarbon solvent at 50-90.degree.. Thus, 2-methylthio-6-dimethylamino-9-(2,5-di-O-benzoyl-3-acetamido-3-deoxy-.beta.-D-ribofuranosyl)purine (II) was prepd. as follows. To 5.1 g. Me 2,5-di-O-benzoyl-3-acetamido-3-deoxy-.beta.-D-ribofuranoside in 100 ml. of MeOH was added 1.8 ml. N NaOMe in MeOH, the soln. refluxed 30 min., and evapd. to dryness in vacuo to leave Me 3-acetamido-3-deoxy-.beta.-D-ribofuranoside as a glass. This was dissolved in 50 ml. dry pyridine and treated with 5.1 ml. BzCl at 5-7.degree.. After 68 hrs. in a closed container at 3.degree., the mixt. was dild. with 200 ml. H2O and extd. with 3 50-ml. portions CHCl3, the exts. washed with aq. NaHCO3, dried, treated with C, evapd. to dryness in vacuo, and the residue pptd. from 14 ml. benzene by addn. of heptane to turbidity to give 64% Me 2,5-di-O-benzoyl-3-acetamido-3-deoxy-.beta.-D-ribofuranoside (III), m. 139-41.degree.. To 5 g. III was added 15 ml. concd. aq. HCl, the mixt. stirred at 50.degree. 25 min. and then dild. with 175 ml. of ice water, extd. with 175 ml. CHCl3 in 3 portions, the ext. treated as before, and the residue crystd. from 10 ml. EtOAc by addn.

of heptane to turbidity to give 52% 2,5-di-O-benzoyl-3-acetamido-3-deoxy-D-ribose (IV), m. 153-4.degree., [.alpha.]D 108.degree. (pyridine). IV (2.5 g.) in 5 ml. pyridine and 5 ml. Ac2O was heated on a steam bath 1 hr., dild. with 25 ml. ice water, extd. with 55 ml. CHCl3, and the ext. treated as before to leave 98% gummy solid, m. 127-31.degree., a mixt. of .alpha.- and .beta.-1-(O-acetyl)-2,5-di-O-benzoyl-3-acetamido-3-deoxy-D-ribofuranoside (V). Recrystn. from 16 ml. 1:1 EtOAc-heptane gave one of the isomers of V, m. 152-4.degree., [.alpha.]24D 63.degree. (pyridine). The other isomer was a noncrystallizable gum, [.alpha.]24D 84.degree. (2%, pyridine). To 990 mg. .alpha.,.beta.-mixt. of V in 8.5 ml. ethylene dichloride was added 0.30 ml. TiCl4 in 4.4 ml. of the same solvent, after refluxing 1 hr. the soln. added to a stirred mixt. of 1.25 g. 2-methylthio-6-(dimethylamino)purine mercuric chloride reaction product, 1.35 g. of diatomaceous earth, and 90 ml. ethylene chloride which had previously been dried by distn. of 20 ml. solvent, the mixt. stirred and refluxed 18 hrs., treated with 45 ml. water, stirred without further heating 15 min., filtered, the solids washed with hot CHCl3, the org. layer and the washings evapd. to dryness in vacuo, the glass dissolved in 25 ml. HCl3, washed with 25 ml. 30% aq. KI then water, the org. layer dried, treated with activated C, and evapd. to dryness in vacuo to leave 100% glass, .lambda. 282.5 m.mu. (.epsilon. 17,000) (Methyl Cellosolve), corresponding to 94% pure 2 - methylthio-6-dimethylamino-9-(2,5-di-O-benzoyl-3-acetamido-3-deoxy-.beta.-D-ribofuranosyl)purine. (VI). VI (1.28 g.) in 75 ml. Methyl Cellosolve was stirred with 2 teaspoons of desulfurizing Raney Ni on the steam bath 40 min., the soln. filtered hot, the catalyst washed with addnl. solvent, and the soln. evapd. to dryness in vacuo left 60% 6-dimethylamino-9-(2,5-di-O-benzoyl-3-acetamido-3-deoxy-.beta.-D-ribofuranosyl)purine (VII), glass, .lambda. 275 m.mu. (.epsilon. 16,900) (Methyl Cellosolve), corresponding to 90% purity. VII (690 mg.) in 15 ml. MeOH and 0.14 ml. N NaOMe in MeOH was refluxed 30 min., evapd. to dryness in vacuo, and the residue crystd. from 3 ml. alc. to yield 30% 6-dimethylamino-9-(3-acetamido-3-deoxy-.beta.-D-ribofuranosyl)purine (VIII), m. 187-8.degree., [.alpha.]D -9.9.degree. (3%, pyridine). VIII (100 mg.) in 5 ml. 0.5N Ba(OH)2 was heated on a steam bath 1 hr., the Ba(OH)2 pptd. with excess CO2, the soln. filtered, the filtrate evapd. to dryness in vacuo, the residue dissolved in 3 ml. water, filtered, the soln. evapd., and the residue triturated with 3 ml. EtOAc to give 80% 6-dimethylamino-9-(3-amino-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 215-16.degree. (abs. EtOH), [.alpha.]25D -24.6.degree. (H2O), .lambda. 276 m.mu. (.epsilon. 18,900) (pH 7). The following were prepd. by similar techniques: 2-methylthio-6-dimethylamino-9-(2-acetamido-2-deoxy-3,4,6-tri-O-acetyl-.beta.-D-glucopyranosyl)purine, m. 238-40.degree., [.alpha.]24D 8.5.degree. (1.8%, CHCl3); 1-chloro-2-acetamido-2-deoxy-3,4,6-tri-O-acetyl-.alpha.-D-glucopyranoside, m. 125-6.degree. (decompn.); 2-methylthio-6-dimethylamino-9-(2-acetamido-2-deoxy-.beta.-D-glucopyranosyl)purine, m. 245-7.degree. (decompn.); 6-dimethylamino-9-(2-acetamido-2-deoxy-3,4,6-tri-O-acetyl-.beta.-D-glucopyranosyl)purine; 6-dimethylamino-9-(2-acetamido-2-deoxy-.beta.-D-glucopyranosyl)purine, m. about 170.degree.; 2,5-di-O-benzoyl-3-acetamido-3-deoxy-D-arabinose, m. 152-3.degree., [.alpha.]D -25.6.degree. (CHCl3); 2-methylthio-6-dimethylamino-9-(2,5-di-O-benzoyl-3-acetamido-3-deoxy-.alpha.-D-arabinofuranosyl)purine, glass; 6-dimethylamino-9-(2,5-di-O-benzoyl-3-acetamido-3-deoxy-.alpha.-D-arabinofuranosyl)purine, glass; 6-dimethylamino-9-(3-acetamido-3-deoxy-.alpha.-D-arabinofuranosyl)purine, m. 189-91.degree. [.alpha.]D 102.degree. (water); 6-dimethylamino-9-(2,5-di-O-acetyl-3-acetamido-3-deoxy-.alpha.-D-ribofuranosyl)purine, glass; 6-dimethylamino-9-(3-acetamido-3-deoxy-.alpha.-D-ribofuranosyl)purine, m. 239-40.degree., [.alpha.]25D 115.degree. (H2O); 6-dimethylamino-9-(3-amino-3-deoxy-.alpha.-D-ribofuranosyl)purine, m. 235.degree. (decompn.); 6-amino-9-(3-acetamido-3-deoxy-.alpha.-D-ribofuranosyl)purine, m.

279.degree. (decompn.), [.alpha.]24D 60.degree. (0.1N HCl); 6-amino-9-(3-acetamido-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 234-44.degree. (decompn.), [.alpha.]25D 11.6.degree. (0.1N HCl); 6-dimethylamino-9-(3-phthalimido-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 274-5.degree. (decompn.); 6-chloro-9-(2,5-di-O-benzoyl-3-phthalimido-3-deoxy-.beta.-D-ribofuranosyl)purine (contg. 0.5 mole of EtOAc), sinters to a glass at 76-7.degree., m. 100-5.degree. to a glass, [.alpha.]24D -60.9.degree. (CHCl3); 6-chloro-9-(2,5-di-O-benzoyl-3-acetamido-3-deoxy-D-ribofuranosyl)purine, light tan glass, [.alpha.]24.5D 39.2.degree. (EtOH); 6-dimethylamino-9-(3-acetamido-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 186-9.degree., [.alpha.]25D -8.1.degree. (pyridine); 6-diethylamino-9-(3-acetamido-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 214.5-15.0.degree., [.alpha.]24.5D -26.0.degree. (EtOH); 6-diethylamino-9-(3-amino-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 181-3.degree., [.alpha.]24.5D -45.8.degree. (EtOH); 6-methylamino-9-(3-amino-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 228.5-30.5.degree., [.alpha.]24D -29.6.degree. (water); 6-isobutylamino-9-(3-amino-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 171.5-2.5.degree., [.alpha.]24D -25.3.degree. (water); 6-dimethylamino-9-(3-amino-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 214-16.degree.; 6-(1-piperidyl)-9-(3-[2-(1-piperidylcarbonyl)benzamido]-3-deoxy-.beta.-D-ribofuranosyl)purine, tan glass; 6-(1-piperidyl)-9-(3-amino-3-deoxy-.beta.-D-ribofuranosyl)purine (hemihydrate), m. 189.5-90.0.degree., [.alpha.]24D -44.0.degree. (EtOH); 6-dipropylamino-9-(3-amino-3-deoxy-.beta.-D-ribofuranosyl)purine, plates m. 168.5-9.5.degree., [.alpha.]24D -45.0.degree. (MeOH); 2,6-dichloro-9-(2,5-di-O-benzoyl-3-phthalimido-3-deoxy-D-ribofuranosyl)purine, sintered to a glass at 58-60.degree. and m. 95-105.degree. to a glass, [.alpha.]28D -57.0.degree. (CHCl3); 6-methylamino-9-(3-acetamido-3-deoxy-.alpha.(and .beta.)-D-ribofuranosyl)purine, .alpha.-form, needles, m. 257-8.degree. (decompn.), [.alpha.]28D 114.0.degree. (water), .beta.-form (contg. 0.25 mole water), m. 229-30.degree. (decompn.), [.alpha.]28D -2.0.degree. (water); 6-methylamino-9-(3-amino-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 230-1.degree., [.alpha.]25D -26.9.degree. (water); 6-diethylamino-9-(3-amino-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 181.5-2.5.degree., [.alpha.]25D -44.0.degree. (EtOH); 6-dibutylamino-9-(3-amino-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 189.5-90.5.degree., [.alpha.]26D -38.8.degree. (MeOH); 6-furfurylamino-9-(3-amino-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 157.5-8.5.degree., [.alpha.]26D -43.5.degree. (water); 6-benzylamino-9-(3-amino-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 174.5-5.5.degree., [.alpha.]25D -41.8.degree. (MeOH); 6-methoxy-9-(3-phthalimido-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 243-4.degree. (decompn.), [.alpha.]26D -113.0.degree. (MeOH); 6-diamylamino-9-(3-amino-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 172-3.2.degree., [.alpha.]26D -43.7.degree. (EtOH); 6-diheptylamino-9-(3-amino-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 137-8.degree., [.alpha.]25d -36.9.degree. (EtOH); 6-mercapto-9-(2,5-di-O-benzoyl-3-phthalimido-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 233-8.degree., [.alpha.]26D -105.0.degree. (pyridine); 2-chloro-6-dipropylamino-9-(3-amino-3-deoxy-D-ribofuranosyl)purine, plates, m. 164.5-5.5.degree. [.alpha.]25D -23.6.degree. (EtOH); 6-methylthio-9-(2,5-di-O-benzoyl-3-phthalimido-3-deoxy-D-ribofuranosyl)purine, m. 157-9.degree. and 195.5-196.5.degree., [.alpha.]25D -88.4.degree. (CHCl3); 9-(2,5-di-O-benzoyl-3-phthalimido-3-deoxy-D-ribofuranosyl)purine (hydrate), m. 117.5-22.degree., [.alpha.]25D -61.7.degree. (CHCl3); 6-decylamino-9-(3-amino-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 138-9.degree., [.alpha.]25D -40.0.degree. (EtOH); 6-diallylamino-9-(3-amino-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 161-3.5.degree., [.alpha.]25D -43.1.degree. (MeOH); 6-(4-morpholinyl)-9-(3-[.omicron.-(4-morpholinylcarbonyl)benzamido]-3-deoxy-.beta.-D-ribofuranosyl)purine, tan

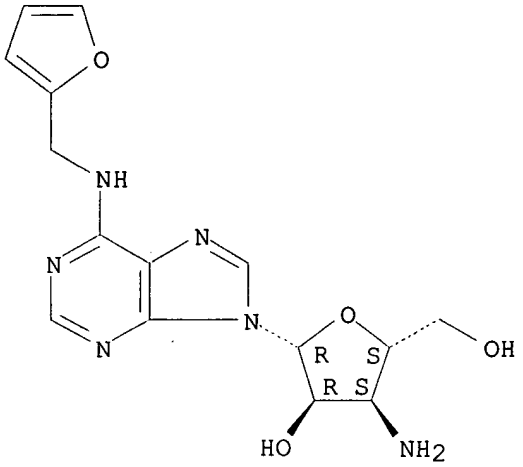
glass, [.alpha.]24D -16.6.degree. (EtOH); 6-butylamino-9-(3-amino-3-deoxy-.beta.-D-ribofuranosyl)purine, (contg. 0.25 mole water), m. 171-2.degree., [.alpha.]25D -43.7.degree. (EtOH); 6-cyclohexylamino-9-(3-amino-3-deoxy-.beta.-D-ribofuranosyl)purine, glass, [.alpha.]25D -42.5.degree. (EtOH); 6-chloro-9-(2,3-di-O-benzoyl-5-phthalimido-5-deoxy-.beta.-D-ribofuranosyl)purine, fluffed glass; 9-(2,3-di-O-benzoyl-5-phthalimido-5-deoxy-.beta.-D-ribofuranosyl)purine, m. 153-5.degree.; 9-(5-amino-5-deoxy-.beta.-D-ribofuranosyl)purine, m. 148-55.degree. (decompn.); 6-dimethylamino-9-(2,3-di-O-benzoyl-5-phthalimido-5-deoxy-.beta.-D-ribofuranosyl)purine, m. 230-2.degree.; 6-dimethylamino-9-(5-amino-5-deoxy-.beta.-D-ribofuranosyl)purine, m. 132-3.degree.; 2-methylthio-6-dimethylamino-9-(2-acetamido-2-deoxy-4,6-O-benzylidene-.beta.-D-glucopyranosyl)purine, tan crystals, m. 254-5.degree. (decompn.); 2-methylthio-6-dimethylamino-9-[2-acetamido-2-deoxy-3-mesyl-4,6-(O-benzylidene)-.beta.-D-glucopyranosyl] purine, gray crystals, m. 201-2.degree.; 2-methylthio-6-dimethylamino-9-[2-acetamido-2-deoxy-4,6-(O-benzylidene)-.beta.-D-allopyranosyl]purine, m. 229-31.degree. (decompn.); 2-methylthio-6-dimethylamino-9-[2-acetamido-2-deoxy-3-(O-acetyl)-4,6-(O-benzylidene)-.beta.-D-allopyranosyl] purine, m. 204-5.degree. (decompn.); 2-methylthio-6-dimethylamino-9-(2-acetamido-2-deoxy-3,4,6-tri-O-acetyl-.beta.-D-allopyranosyl)purine, m. 197-8.degree.; 6-dimethylamino-9-(2-acetamido-2-deoxy-.beta.-D-allopyranosyl)purine, m. 250-3.degree. (decompn.); 6-dimethylamino-9-(2-amino-2-deoxy-.beta.-D-allopyranosyl)purine, m. 110-12.degree.; Et 2-acetamido-2-deoxy-3,5,6-tri-O-benzoyl-.alpha.-D-glucothiofuranoside, m. 113-14.degree.; 3-acetamido-3-deoxy-D-altrose diethylmercaptal, m. 133-5.degree.; 3-acetamido-3-deoxy-6-(O-trityl)-D-altrose diethylmercaptal, m. 91-2.degree.; 2-acetamido-2-deoxy-4-(O-formyl)-5-(O-trityl)-D-ribose, m. 140-3.degree., 6-dimethylamino-9-(3-amino-3-deoxy-.beta.-D-allopyranosyl)purine hemihydrate, m. 178-80.degree., [.alpha.]25D -17.9.degree. (water); 2-methylthio-6-dimethylamino-9-(3-acetamido-3-deoxy-.beta.-D-arabinofuranosyl)purine, m. 193-5.degree., [.alpha.]25D 13.degree. (2%, pyridine); 9-(3-phthalimido-3-deoxy-.beta.-D-ribofuranosyl)adenine, buff-colored crystals, m. 228-9.degree., [.alpha.]24D -175.degree. (0.6%, EtOH); and other similar compds. which were gums, syrups, and glasses and were not described further.

IT **98144-07-1**, Adenosine, 3'-amino-3'-deoxy-N-furfuryl-
(prepn. of)

RN 98144-07-1 HCAPLUS

CN Adenosine, 3'-amino-3'-deoxy-N-furfuryl- (6CI, 7CI) (CA INDEX NAME)

Absolute stereochemistry.



L30 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1959:45325 HCAPLUS
DOCUMENT NUMBER: 53:45325
ORIGINAL REFERENCE NO.: 53:8174b-i,8175a-d
TITLE: Aminodeoxyglycosidopurines
INVENTOR(S): Goldman, Leon; Marsico, Joseph W.
PATENT ASSIGNEE(S): American Cyanamid Co.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2852506		19580916	US	

AB The condensation of a blocked deriv. of a glycoside with a suitably substituted purine results in aminodeoxyglycosidopurines (I). Thus, 6-chloro(chloromercuri)purine (II) and bis(6-chloropurinyl)mercury (III) were prepd. by adding 3.091 g. 6-chloropurine to 50 ml. 0.4 Naq. NaOH at 75.degree. followed by immediate addn. of a hot soln. of 5.430 g. HgCl2 in 15 ml. EtOH with stirring. The crystals which formed were cooled, filtered, water-washed, and dried at 100.degree.. The yield of light tan crystals, analyzing for 15% II and 85% III was 96%. To a stirred refluxing suspension of a mixt. (6.84 g.) of 82.5% III and 17.5% II in 350 ml. of anhyd. xylene was added a hot soln. of 11.86 g. 2,5-di-O-benzoyl-3-phthalimido-3-deoxy-.beta.-D-ribofuranosyl chloride in 125 ml. anhyd. xylene, the suspension refluxed and stirred 5 hrs., filtered while hot, the filter cake washed with hot CHCl3, the combined filtrate and wash washed with 30% aq. KI and then water, the soln. dried, and evapd. in vacuo to give 13.36 g. 6-chloro-9-(2,5-di-O-benzoyl-3-phthalimido-3-deoxy-.beta.- D-ribofuranosyl) purine (IV), recrystd. from EtOAc-hexane to 7.18 g. IV contg. 0.5 mole EtOAc, sintered at 76-7.degree. to an opaque glass and m. 100-105.degree., [.alpha.]24D -60.9.degree. (CHCl3), .lambda. 263 m.mu. (.epsilon. 12,100) (0.1N HCl), .lambda. 263 m.mu. (.epsilon. 10,700) (EtOH and 0.1N NaOH). IV (0.536 g.), 1 ml. Me2NH, and 10 ml. anhyd. MeOH was heated in a sealed tube 2 hrs. on a steam bath, the red-brown soln. evapd. in vacuo, the gummy residue dissolved in aq. EtOH, evapd. to dryness in vacuo, the residue dissolved in 40 ml. 50% MeOH, 38.4 ml. of the soln. stirred with Amberlite RA-400

(OH) resin, the suspension filtered, and the filtrate evapd. in vacuo to yield 96% 6-dimethylamino-9-(3-acetamido-3-(deoxy-D-ribofuranosyl)purine (V), m. 186-9.degree. (alc.), [.alpha.]25D -8.1.degree. (pyridine), .lambda. 267 m.mu. (.epsilon. 17,700) (0.1N HCl), .lambda. 275 m.mu. (.epsilon. 17,500) (EtOH), .lambda. 275 m.mu. (.epsilon. 17,800) (0.1N NaOH). Similarly the following were prepd.: 6-chloro-9-(2,5-di-O-benzoyl-3-acetamido-3-deoxy-D-ribofuranosyl)purine, light tan glass, [.alpha.]24.5D 39.2.degree. (EtOH); 6-dimethylamino-9-(3-acetamido-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 186-9.degree., [.alpha.]25D -8.1.degree. (pyridine); 6-diethylamino-9-(3-acetamido-3-deoxy-.beta.-D-ribofuranosyl)-purine, m. 214.5-15.0.degree., [.alpha.]24.5D -26.0.degree. (EtOH); 6-diethylamino-9-(3-amino-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 181-3.degree. [.alpha.]24.5D -45.8.degree. (EtOH); 6-methylamino-9-(3-amino-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 228.5-30.5.degree., [.alpha.]24D -29.6.degree. (water); 6-isobutylamino-9-(3-amino-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 171.5-2.5.degree., [.alpha.]24D -25.3.degree. (water); 6-dimethylamino-9-(3-amino-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 214-16.degree. 6-(1-piperidyl)-9-(3-[o-(1-piperidylcarbonyl)benzamido]-3-deoxy-.beta.-D-ribofuranosyl)purine, tan glass; 6-(1-piperidyl)-9-(3-amino-3-deoxy-.beta.-D-ribofuranosyl)purine hemihydrate, m. 189.5-90.0.degree., [.alpha.]24D -44.0.degree. (EtOH); 6-dipropylamino-9-(3-amino-3-deoxy-.beta.-D-ribofuranosyl)purine, plates, m. 168.5-9.5.degree., [.alpha.]24D -45.0.degree. (MeOH); 2,6-dichloro-9-(2,5-di-O-benzoyl-3-phthalimido-3-deoxy-D-ribofuranosyl)purine, sintered at 58-60.degree. and m. 95-105.degree., [.alpha.]28D -57.0.degree. (CHCl3); 6-methylamino-9-(acetamido-3-deoxy-D-ribofuranosyl)purine .alpha.-form (contg. 0.25 mole water), m. 257-8.degree., [.alpha.]28D 114.degree. (water), .beta.-form (contg. 0.25 mole water), m. 229-30.degree. (decompn.), [.alpha.]28D -2.0.degree. (water); 6-methylamino-9-(3-amino-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 230-1.degree., [.alpha.]25D -26.9.degree. (water); 6-diethylamino-9-(3-amino-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 181.5-2.5.degree., [.alpha.]25D -44.0.degree. (EtOH); 6-dibutylamino-9-(3-amino-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 189.5-90.5.degree., [.alpha.]26D -38.8.degree. (MeOH); 6-furfuryl-9-(3-amino-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 157.5-8.5.degree., [.alpha.]26D -43.5.degree. (water); 6-benzylamino-9-(3-amino-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 174.5-5.5.degree., [.alpha.]25D -41.8.degree. (MeOH); 6-methoxy-9-(3-phthalimido-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 243-4.degree. (decompn.), [.alpha.]26D -113.0.degree. (MeOH); 6-diamylamino-9-(3-amino-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 172-3.2.degree., [.alpha.]26D -43.7.degree. (EtOH); 6-diheptylamino-9-(3-amino-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 137-8.degree., [.alpha.]25D -36.9.degree. (EtOH); 6-mercapto-9-(2,5-di-O-benzoyl-3-phthalimido-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 233-8.degree., [.alpha.]26D -105.degree. (pyridine); 2-chloro-6-propylamino-9-(3-amino-3-deoxy-D-ribofuranosyl)purine, plates, m. 164.5-5.5.degree., [.alpha.]25D -23.6.degree. (EtOH); 6-methylthio-9-(2,5-di-O-benzoyl-3-phthalimido-3-deoxy-D-ribofuranosyl)purine, partially m. 157-9.degree. and m. 195.5-6.5.degree., [.alpha.]25D -88.4.degree. (CHCl3); 9-(2,5-di-O-benzoyl-3-phthalimido-3-deoxy-D-ribofuranosyl)purine (hydrate), m. 117.5-22.degree., [.alpha.]25D -61.7.degree. (CHCl3); 6-decylamino-9-(3-amino-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 138-9.degree., [.alpha.]25D -40.0.degree. (EtOH); 6-diallylamino-9-(3-amino-3-deoxy-.beta.-D-ribofuranosyl)purine, m. 161-3.5.degree., [.alpha.]25D -43.1.degree. (MeOH); 6-(4-morpholinyl)-9-(3-[O-(4-morpholinylcarbonyl)benzamido]-3-deoxy-.beta.-D-ribofuranosyl)purine, tan glass, [.alpha.]24D -16.6.degree. (EtOH); 6-butylamino-9-(3-amino-3-deoxy-.beta.-D-ribofuranosyl)purine (contg. 0.25 mole water), m. 171-2.degree., [.alpha.]25D -43.7.degree. (EtOH); 6-cyclohexylamino-9-(3-amino-3-deoxy-

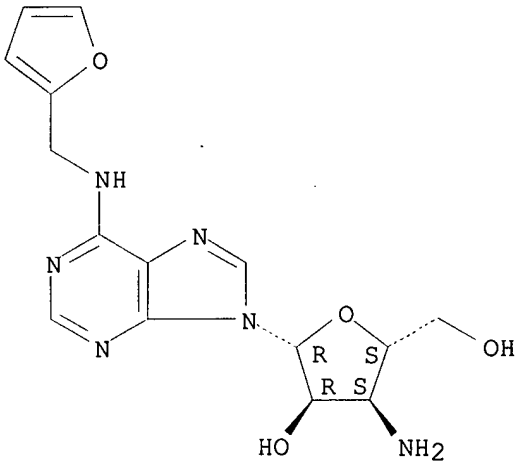
.beta.-D-ribofuranosyl)purine, glass, [.alpha.]25D -42.5.degree. (EtOH); 9-(2,3-di-O-benzoyl-5-phthalimido-5-deoxy-.beta.-D-ribofuranosyl)purine, m. 153-5.degree.; 9-(5-amino-5-deoxy-.beta.-D-ribofuranosyl)purine, decomp. 148-55.degree.; Et 2-acetamido-2-deoxy-3,5,6-tri-O-benzoyl-.alpha.-D-glucothiofuranoside, m. 113-14.degree.; and several other compds. without phys. props.

IT **98144-07-1**, Adenosine, 3'-amino-3'-deoxy-N-furfuryl- (prepn. of)

RN 98144-07-1 HCAPLUS

CN Adenosine, 3'-amino-3'-deoxy-N-furfuryl- (6CI, 7CI) (CA INDEX NAME)

Absolute stereochemistry.



L30 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1957:5533 HCAPLUS

DOCUMENT NUMBER: 51:5533

ORIGINAL REFERENCE NO.: 51:1206a-e

TITLE: Synthesis of analogs of the aminonucleoside from puromycin: variants at the 6-position of the purine moiety

AUTHOR(S): Goldman, Leon; Marsico, Joseph W.; Angier, Robert B.

CORPORATE SOURCE: Lederle Labs., Pearl River, NY

SOURCE: J. Am. Chem. Soc. (1956), 78, 4173-5
CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

AB Analogs of 9-(3-amino-3-deoxy-.beta.-D-ribofuranosyl)-6-dimethylaminopurine (I)were prepd. and tested for trypanocidal activity. Chloromercuri-6-chloropurine (II) and bis(chloropurinyl)mercury (III) with 2,5-di-O-benzoyl-3-deoxy-3-phthalimido-.beta.-D-ribofuranosyl chloride in boiling xylene yielded 64% 9-(2,5-di-O-benzoyl-3-deoxy-3-phthalimido-.beta.-D-ribofuranosyl)-6-chloropurine (IV), sintered at 70-2.degree. to an opaque glass, m. 100-5.degree. to a clear glass, [.alpha.]24D -62.0.degree. (c 2, CHCl3). II and III in the presence of TiCl4 condensed with 3-acetamido-1-O-acetyl-2,5-di-O-benzoyl-3-deoxy-D-ribofuranose in boiling (CH2Cl4)2 yielded 85% of a mixt. (V) of 9-(3-acetamido-2,5-di-O-benzoyl-3-deoxy-.alpha.-and .beta.-D-ribofuranosyl)-6-chloropurines, [.alpha.]24.5D 39.2.degree. (c 1, CHCl3). IV or V were refluxed with primary alkyl amines in MeOH or heated at 100.degree. in sealed tubes to yield amino-nucleosides or 3'-acetamidonucleosides. IV or V with

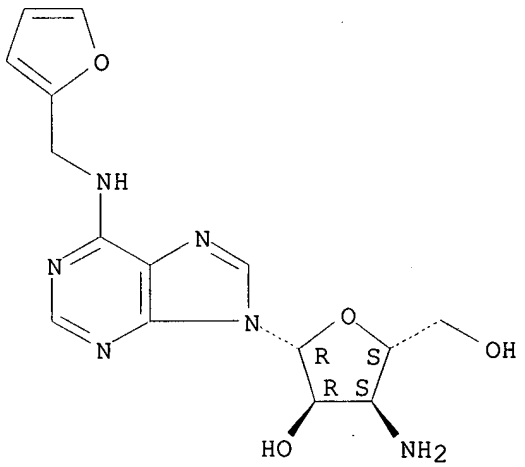
secondary alkyl amines yielded 3'-deoxy-3'-[O-(N,N-di-substituted carbamoyl)]benzamidonucleosides (VI) or 3'-acetamidonucleosides. VI with primary alkyl amines yielded aminonucleosides. The following compds. were prepd.: I, m. 214-16.degree., [.alpha.]25D -23.9.degree. (c 2, water); .alpha.-anomer of the 3'-acetamido-6-methylamino analog m. 257-8.degree. (decompn.), [.alpha.]28D 114.degree. (c 1, water); .beta.-anomer, m. 229-30.degree. (decompn.), [.alpha.]28D -2.0.degree. (c 1, water); 6-monomethyl analog of I, m. 230-1.degree., [.alpha.]25D -26.9.degree. (c 1, water); 9-(3-acetamido-2,5-di-O-benzoyl)-3-deoxy-.beta.-D-ribofuranosyl-6-dimethylaminopurine, m. 186-9.degree., [.alpha.]25D -8.1.degree. (c 2, pyridine); the 6-di-Et analog of IV, m. 181.,5-83.degree., [.alpha.]25D -48.6.degree. (c 1, EtOH). V with Et2NH in MeOH yielded 47% 3'-acetamido-6-diethylamino analog (VII), m. 214.5-15.degree., [.alpha.]24.5D -26.0.degree. (c 0.7, EtOH); VII with Ba(OH)2 yielded 62% 6-diethylamino analog, m. 181-3.degree..

IT **98144-07-1**, Adenosine, 3'-amino-3'-deoxy-N-furfuryl-
(as trypanocide)

RN 98144-07-1 HCAPLUS

CN Adenosine, 3'-amino-3'-deoxy-N-furfuryl- (6CI, 7CI) (CA INDEX NAME)

Absolute stereochemistry.



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L8                STR L6,DIS
L9             0 S L8
L10               STR L8
L11            0 S L10
L12            0 S L10 FUL
L13               STR L10
L14           12 S L13
L15               STR L13
L16           11 S L15
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L18          621 S L17

     FILE 'REGISTRY' ENTERED AT 17:17:08 ON 05 SEP 2003
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L24          248 S L22 FUL
L25               STR L22
L26            0 S L25
L27            3 S L25 FUL  3 compds in Registry - all d que stat for structure

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L28            5 S L27
L29            1 S L28 AND (?ISCHEM? OR ?HYPOX?) - 1 cit, OR'd with L28 to highlight terms
L30            5 S L28 OR L29  5 cits in CA Plus
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Hi Eric,
Please let me know if you want me to take another approach with this structure & all its possibilities!

Mary Jane
605-1155

```
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L25             STR
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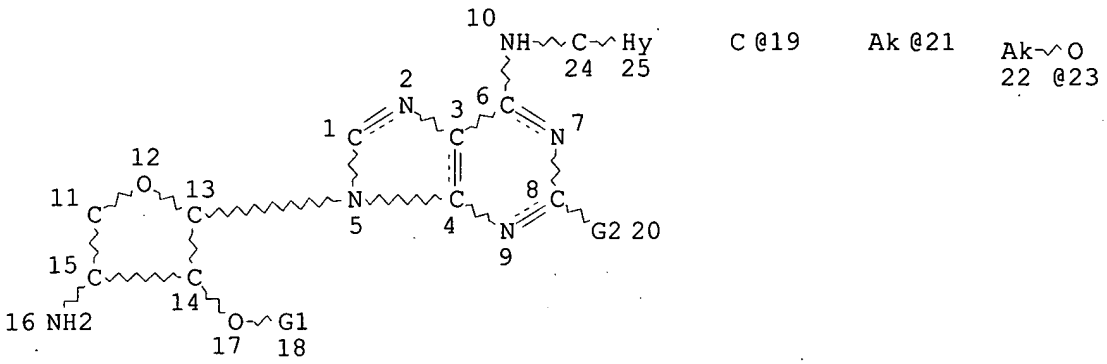


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VAR G2=H/21/23/CF3/X
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DEFAULT ECLEVEL IS LIMITED
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ECOUNT  IS M1-X4 C  AT  22

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  25

STEREO ATTRIBUTES: NONE
L27             3 SEA FILE=REGISTRY SSS FUL L25
L28             5 SEA FILE=HCAPLUS ABB=ON  L27
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L30             5 SEA FILE=HCAPLUS ABB=ON  L28 OR L29
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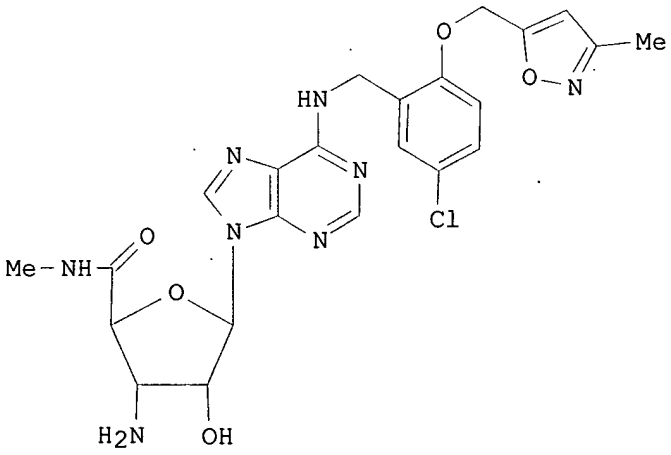
Inventor Search

=> d ibib abs ind hitstr l5 1-3

L5 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:967180 HCAPLUS
DOCUMENT NUMBER: 138:153740
TITLE: 3'-Aminoadenosine-5'-uronamides: Discovery of the First Highly Selective Agonist at the Human Adenosine A3 Receptor
AUTHOR(S): **DeNinno, Michael P.; Masamune, Hiroko;** Chenard, Lois K.; DiRico, Kenneth J.; Eller, Cynthia; Etienne, John B.; Tickner, Jeanene E.; Kennedy, Scott P.; Knight, Delvin R.; Kong, Jimmy; Oleynek, Joseph J.; Tracey, W. Ross; Hill, Roger J.
CORPORATE SOURCE: PGRD Groton Laboratories, Pfizer Inc., Groton, CT, 06340, USA
SOURCE: Journal of Medicinal Chemistry (2003), 46(3), 353-355
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:153740
GI



I

AB Selective adenosine A3 agonists have potential utility for the prevention of perioperative myocardial ischemic injury. Herein, we report on the discovery and synthesis of nucleoside I. This amino nucleoside agonist possesses unprecedented levels of selectivity for the human adenosine A3 receptor.

CC 33-7 (Carbohydrates)
Section cross-reference(s): 1

ST amino nucleoside agonist human adenosine receptor prepn; human adenosine receptor aminoadenosine uronamide myocardial ischemic injury

IT Adenosine receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(A1; prepn. of 3'-aminoadenosine-5'-uronamide nucleosides as selective agonist at the human adenosine A3 receptor)

IT Adenosine receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(A3; prepn. of 3'-aminoadenosine-5'-uronamide nucleosides as selective agonist at the human adenosine A3 receptor)

IT Anti-ischemic agents
Human
Ischemia
(prepn. of 3'-aminoadenosine-5'-uronamide nucleosides as selective agonist at the human adenosine A3 receptor)

IT Nucleosides, preparation
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of 3'-aminoadenosine-5'-uronamide nucleosides as selective agonist at the human adenosine A3 receptor)

IT 95523-14-1
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(prepn. of 3'-aminoadenosine-5'-uronamide nucleosides as selective agonist at the human adenosine A3 receptor)

IT 152918-18-8 163042-96-4
RL: PAC (Pharmacological activity); BIOL (Biological study)
(prepn. of 3'-aminoadenosine-5'-uronamide nucleosides as selective agonist at the human adenosine A3 receptor)

IT 331727-55-0P **457612-71-4P** 494835-78-8P 494835-79-9P
494835-80-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of 3'-aminoadenosine-5'-uronamide nucleosides as selective agonist at the human adenosine A3 receptor)

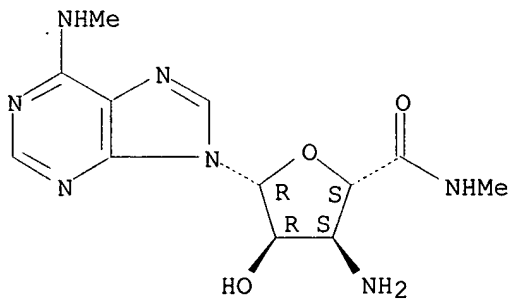
IT **87-42-3**, 6-Chloropurine **582-52-5** 3970-05-6
22509-74-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of 3'-aminoadenosine-5'-uronamide nucleosides as selective agonist at the human adenosine A3 receptor)

IT **21870-78-0P 86945-40-6P 331728-86-0P**
331728-88-2P **331729-03-4P 331729-04-5P**
331729-05-6P 331729-40-9P 331729-41-0P 331729-64-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 3'-aminoadenosine-5'-uronamide nucleosides as selective agonist at the human adenosine A3 receptor)

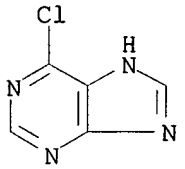
IT **457612-71-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of 3'-aminoadenosine-5'-uronamide nucleosides as selective agonist at the human adenosine A3 receptor)

RN 457612-71-4 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1,3-dideoxy-N-methyl-1-[6-(methylamino)-9H-purin-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

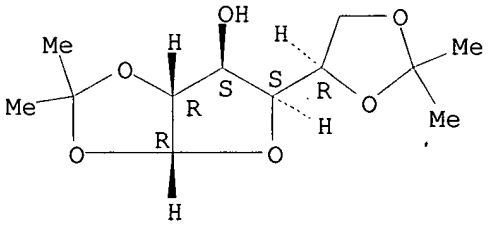


IT **87-42-3**, 6-Chloropurine **582-52-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of 3'-aminoadenosine-5'-uronamide nucleosides as selective agonist at the human adenosine A3 receptor)
RN 87-42-3 HCAPLUS
CN 1H-Purine, 6-chloro- (9CI) (CA INDEX NAME)



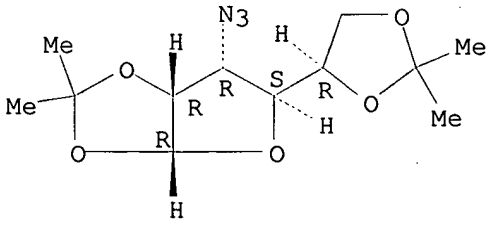
RN 582-52-5 HCAPLUS
CN .alpha.-D-Glucofuranose, 1,2:5,6-bis-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



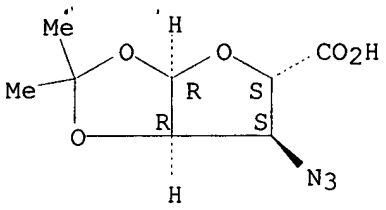
IT **21870-78-0P 86945-40-6P 331728-86-0P**
331729-03-4P 331729-04-5P 331729-05-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 3'-aminoadenosine-5'-uronamide nucleosides as selective agonist at the human adenosine A3 receptor)
RN 21870-78-0 HCAPLUS
CN .alpha.-D-Allofuranose, 3-azido-3-deoxy-1,2:5,6-bis-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



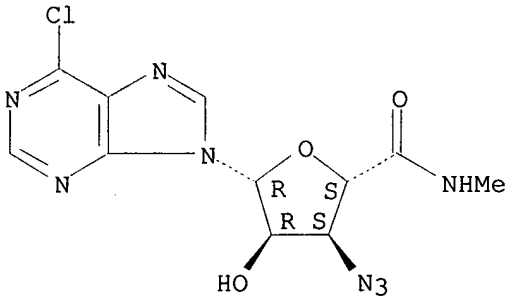
RN 86945-40-6 HCAPLUS
CN .alpha.-D-Ribofuranuronic acid, 3-azido-3-deoxy-1,2-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



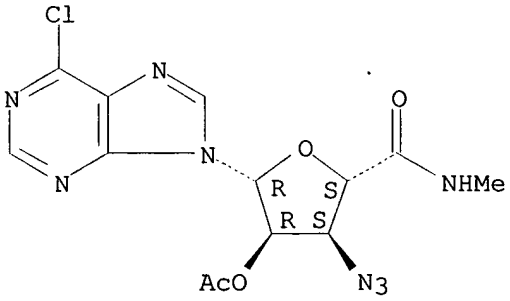
RN 331728-86-0 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-azido-1-(6-chloro-9H-purin-9-yl)-1,3-dideoxy-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



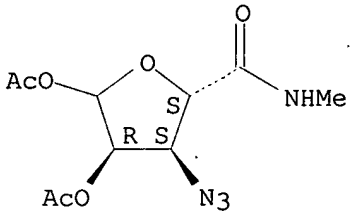
RN 331729-03-4 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-azido-1-(6-chloro-9H-purin-9-yl)-1,3-dideoxy-N-methyl-, 2-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



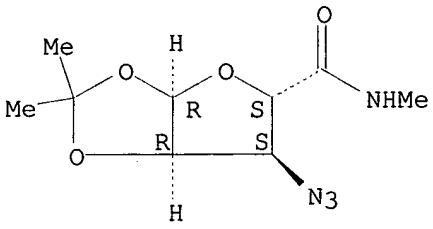
RN 331729-04-5 HCAPLUS
CN D-Ribofuranuronamide, 3-azido-3-deoxy-N-methyl-, 1,2-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 331729-05-6 HCAPLUS
CN .alpha.-D-Ribofuranuronamide, 3-azido-3-deoxy-N-methyl-1,2-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

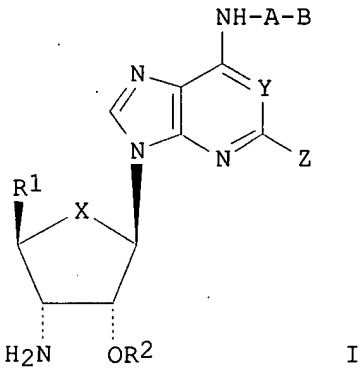


REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:714167 HCAPLUS
DOCUMENT NUMBER: 137:217183
TITLE: Preparation of purine nucleosides as adenosine A3 receptors for the treatment of ischemia or hypoxia
INVENTOR(S): **Deninno, Michael Paul; Masamune, Hiroko**
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 55 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1241176	A1	20020918	EP 2002-251174	20020220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2002322193	A2	20021108	JP 2002-62987	20020308
BR 2002000782	A	20030107	BR 2002-782	20020313
US 2003055021	A1	20030320	US 2002-99620	20020315

PRIORITY APPLN. INFO.: US 2001-276411P P 20010316
OTHER SOURCE(S): MARPAT 137:217183
GI



I

AB A3 agonists purine nucleosides I, wherein X is oxy, methylene, , thio; Y is CH, N; Z is H, alkyl, alkoxy,. CF3, halo; R1 is CH2OH, alkoxymethyl, cycloalkoxymethyl, carboxy, alkoxycarbonyl, cycloalkoxycarbonyl, aminoiminomethyl; R2 is H, alkyl, cycloalkyl,; A is methylene; B is H, heteroaryl, aryl, alkylaryl; are described herein as well as methods of using such A3 agonists and pharmaceutical compns. contg. such A3 agonists. The A3 agonists are useful for the redn. of tissue damage resulting from tissue ischemia or hypoxia. Thus, (2S,3S,4R,5R)-3-amino-4-hydroxy-5-[6-(3-methoxybenzylamino)purin-9-yl]tetrahydrofuran-2-carboxylic acid methylamide was prepd. as human adenosine A3 receptor (IC50 from 15 nM to 500 nM). The method may include redn. of tissue damage resulting from ischemia/hypoxia during organ transplantation.

IC ICM C07H019-16
ICS C07D473-34; C07D471-04; A61K031-7076; A61P009-10

ICI C07D471-04, C07D235-00, C07D221-00

CC 33-9 (Carbohydrates)
Section cross-reference(s): 1, 63

ST nucleoside prepn adenosine receptor treatment ischemia hypoxia human

IT Adenosine receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(A1; prepn. of purine nucleosides as adenosine a receptors for the treatment of ischemia or hypoxia)

IT Adenosine receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(A3; prepn. of purine nucleosides as adenosine a receptors for the treatment of ischemia or hypoxia)

IT Animal tissue
Human
(prepn. of purine nucleosides as adenosine a receptors for the treatment of ischemia or hypoxia)

IT Nucleosides, preparation
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of purine nucleosides as adenosine a receptors for the treatment of ischemia or hypoxia)

IT Hypoxia, animal
Ischemia
(treatment; prepn. of purine nucleosides as adenosine a receptors for the treatment of ischemia or hypoxia)

IT **457612-53-2P 457612-54-3P 457612-55-4P**
457612-56-5P 457612-57-6P 457612-58-7P
457612-59-8P 457612-60-1P 457612-61-2P

457612-62-3P 457612-63-4P 457612-64-5P
457612-65-6P 457612-66-7P 457612-67-8P
457612-68-9P 457612-69-0P 457612-70-3P
457612-71-4P 457612-72-5P 457612-73-6P
457612-74-7P 457612-75-8P 457612-76-9P
457612-77-0P 457612-78-1P 457612-79-2P
457612-80-5P 457612-81-6P 457612-82-7P
457612-83-8P 457612-84-9P 457612-85-0P
457612-86-1P 457612-87-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of purine nucleosides as adenosine a receptors for the treatment of ischemia or hypoxia)

IT **74-89-5**, Methylamine, reactions **87-42-3**, 6-Chloropurine **582-52-5 3600-86-0**, 2,5-Dimethoxyphenethylamine **7048-40-0 13589-72-5 74511-44-7**, 2-Benzyloxybenzonitrile **457612-47-4 457612-50-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of purine nucleosides as adenosine a receptors for the treatment of ischemia or hypoxia)

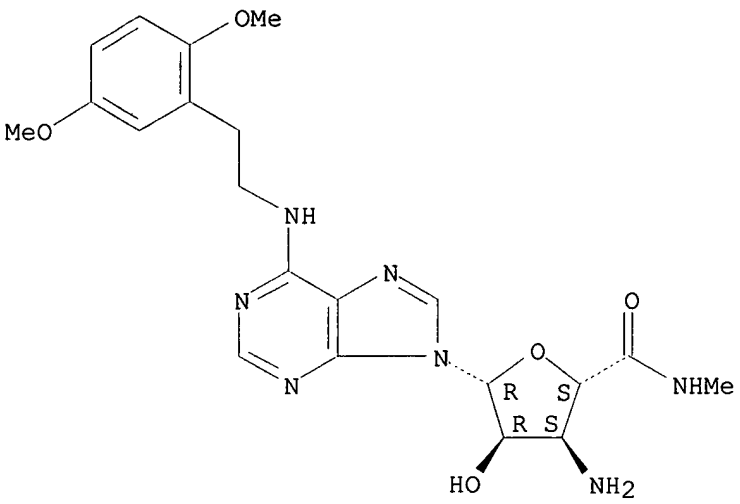
IT **21870-78-0P 76813-80-4P 86945-40-6P 86945-41-7P 93219-03-5P 331728-66-6P 331728-86-0P 331729-03-4P 331729-04-5P 331729-05-6P 457612-46-3P 457612-48-5P 457612-49-6P 457612-51-0P 457612-52-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of purine nucleosides as adenosine a receptors for the treatment of ischemia or hypoxia)

IT **13444-71-8**, Periodic acid
RL: RGT (Reagent); RACT (Reactant or reagent)
(prepn. of purine nucleosides as adenosine a receptors for the treatment of ischemia or hypoxia)

IT **457612-53-2P 457612-54-3P 457612-55-4P 457612-56-5P 457612-57-6P 457612-58-7P 457612-59-8P 457612-60-1P 457612-61-2P 457612-62-3P 457612-63-4P 457612-64-5P 457612-65-6P 457612-66-7P 457612-67-8P 457612-68-9P 457612-69-0P 457612-70-3P 457612-71-4P 457612-72-5P 457612-73-6P 457612-74-7P 457612-75-8P 457612-76-9P 457612-77-0P 457612-78-1P 457612-79-2P 457612-80-5P 457612-81-6P 457612-82-7P 457612-83-8P 457612-84-9P 457612-85-0P 457612-86-1P 457612-87-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of purine nucleosides as adenosine a receptors for the treatment of ischemia or hypoxia)

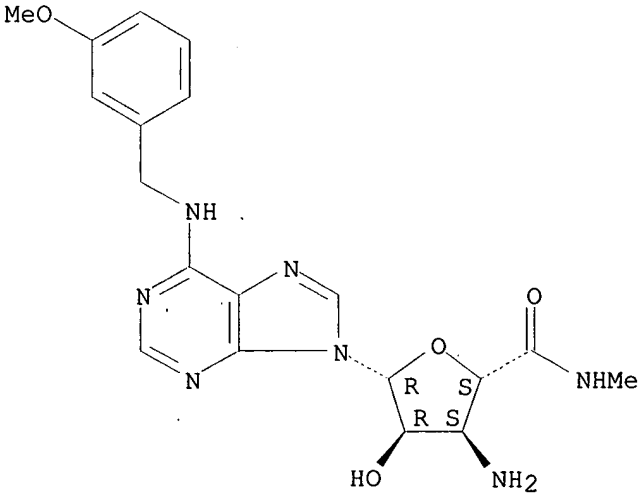
RN 457612-53-2 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1,3-dideoxy-1-[6-[[2-(2,5-dimethoxyphenyl)ethyl]amino]-9H-purin-9-yl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



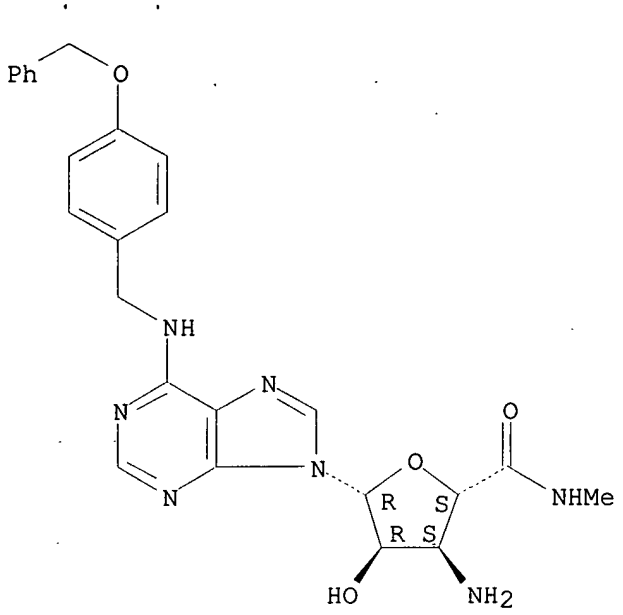
RN 457612-54-3 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1,3-dideoxy-1-[6-[[(3-methoxyphenyl)methyl]amino]-9H-purin-9-yl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



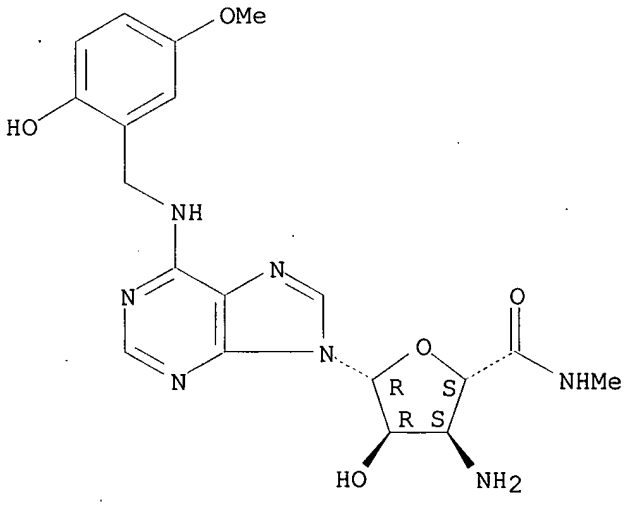
RN 457612-55-4 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1,3-dideoxy-N-methyl-1-[6-[[[4-(phenylmethoxy)phenyl]methyl]amino]-9H-purin-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



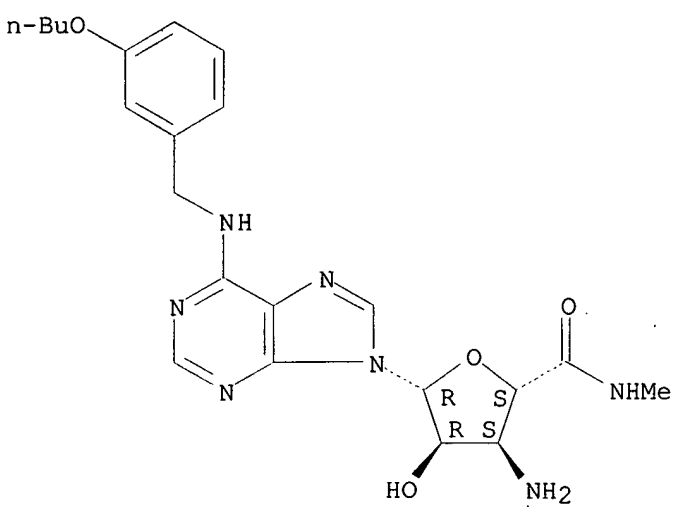
RN 457612-56-5 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1,3-dideoxy-1-[6-[[(2-hydroxy-5-methoxyphenyl)methyl]amino]-9H-purin-9-yl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



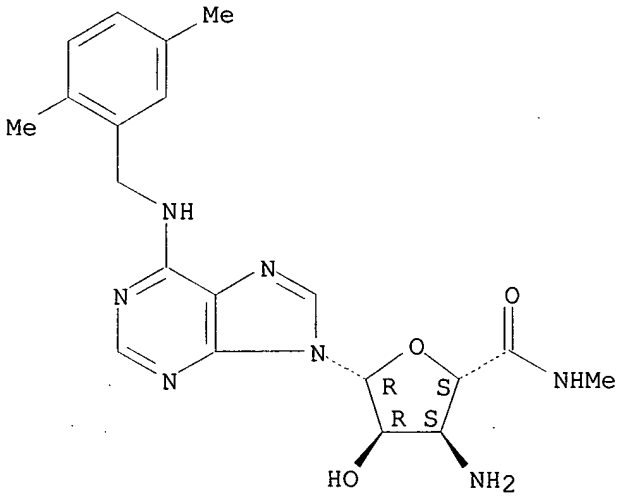
RN 457612-57-6 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1-[6-[[(3-butoxyphenyl)methyl]amino]-9H-purin-9-yl]-1,3-dideoxy-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



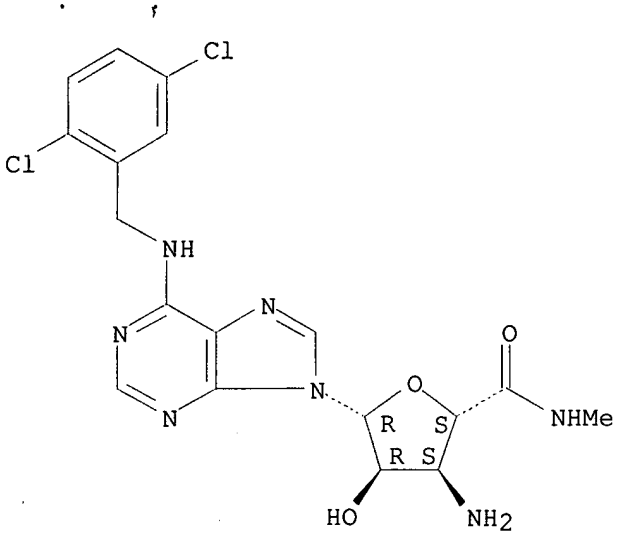
RN 457612-58-7 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1,3-dideoxy-1-[6-[[(2,5-dimethylphenyl)methyl]amino]-9H-purin-9-yl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 457612-59-8 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1,3-dideoxy-1-[6-[[(2,5-dichlorophenyl)methyl]amino]-9H-purin-9-yl]-N-methyl- (9CI) (CA INDEX NAME)

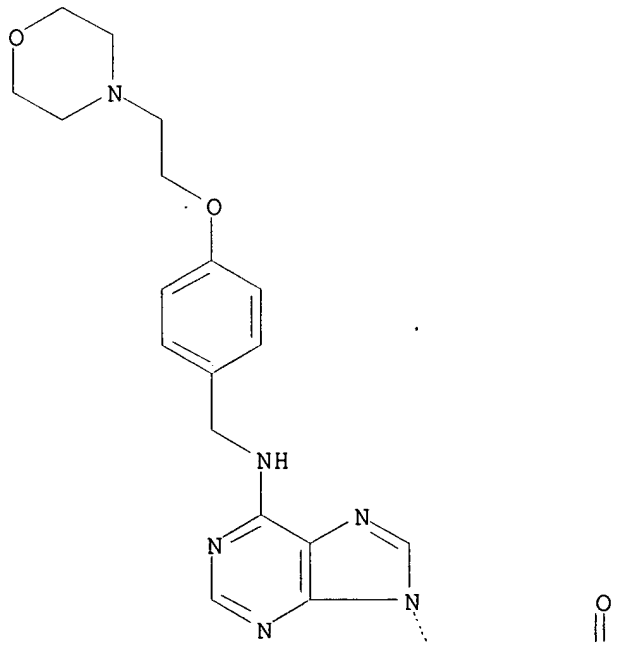
Absolute stereochemistry.



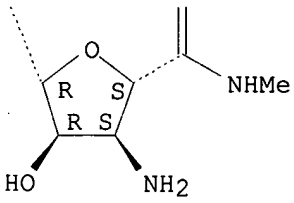
RN 457612-60-1 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1,3-dideoxy-N-methyl-1-[6-[[[4-[2-(4-morpholinyl)ethoxy]phenyl]methyl]amino]-9H-purin-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

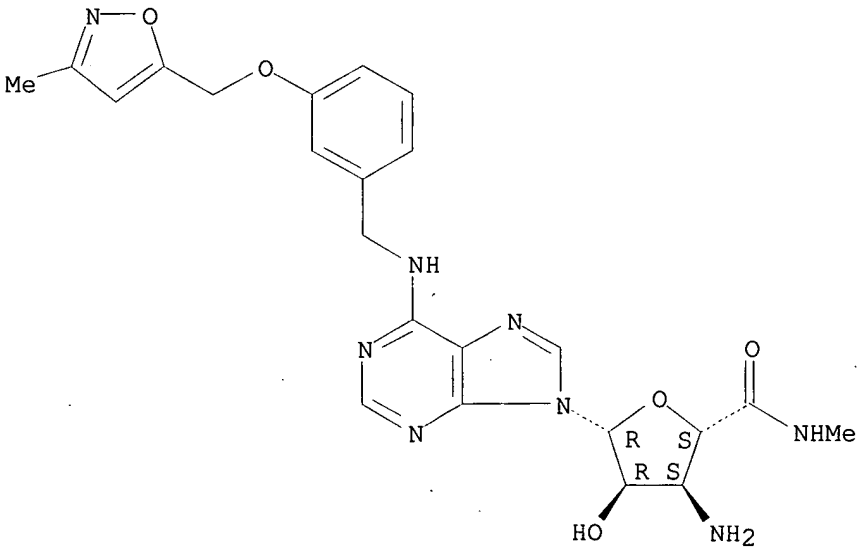


PAGE 2-A



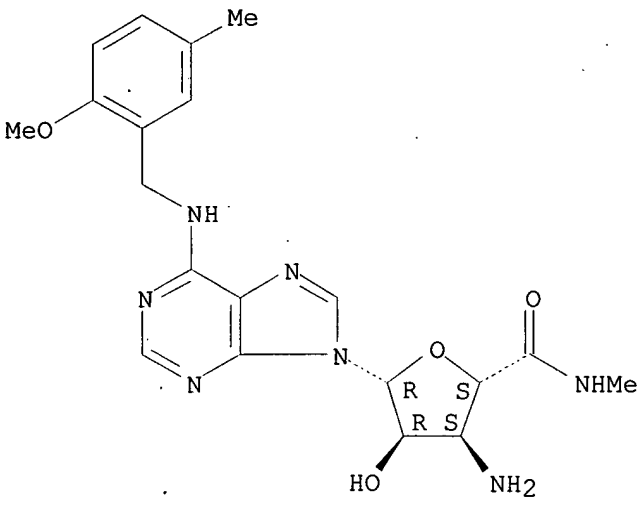
RN 457612-61-2 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1,3-dideoxy-N-methyl-1-[6-[[[3-[(3-methyl-5-isoxazolyl)methoxy]phenyl]methyl]amino]-9H-purin-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



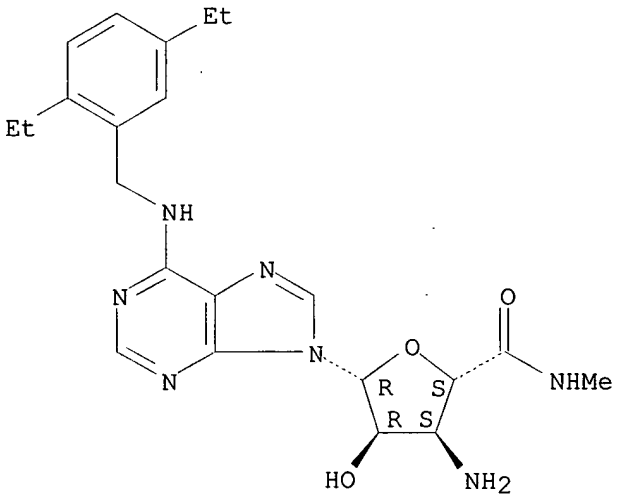
RN 457612-62-3 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1,3-dideoxy-1-[6-[[(2-methoxy-5-methylphenyl)methyl]amino]-9H-purin-9-yl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



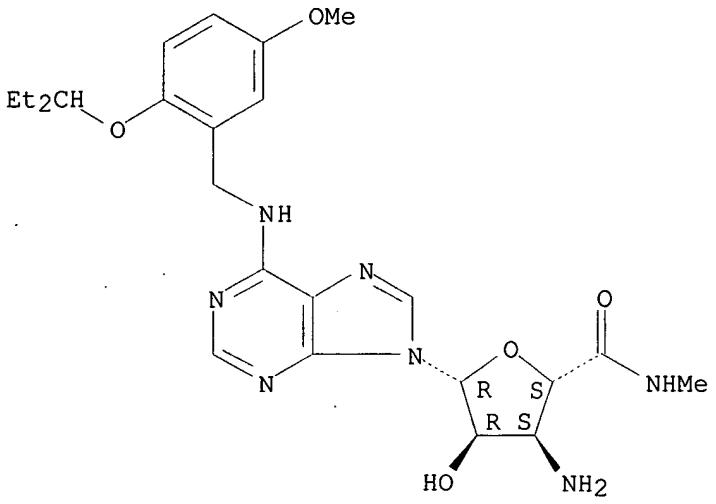
RN 457612-63-4 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1,3-dideoxy-1-[6-[[(2,5-diethylphenyl)methyl]amino]-9H-purin-9-yl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



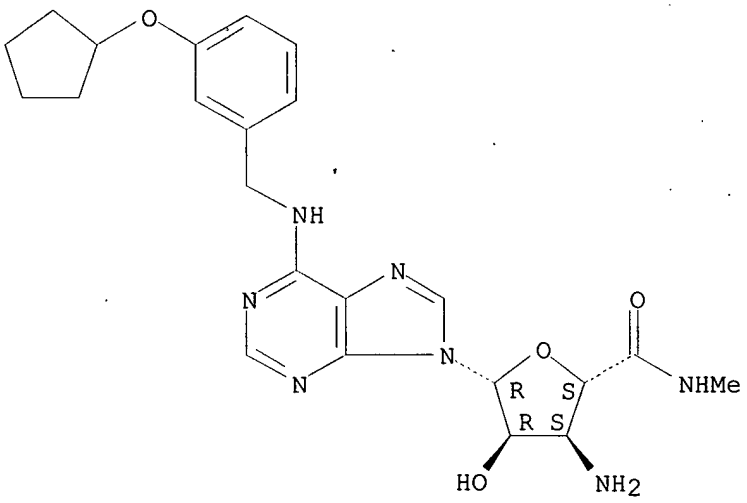
RN 457612-64-5 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1,3-dideoxy-1-[6-[[[2-(1-ethylpropoxy)-5-methoxyphenyl]methyl]amino]-9H-purin-9-yl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



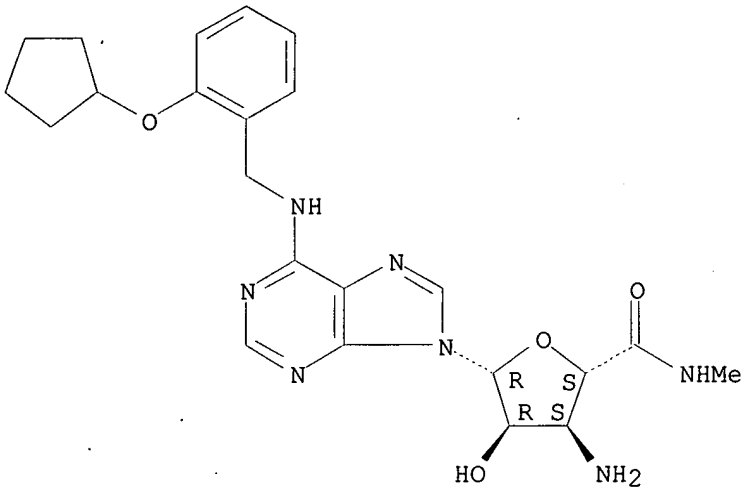
RN 457612-65-6 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1-[6-[[[3-(cyclopentyloxy)phenyl]methyl]amino]-9H-purin-9-yl]-1,3-dideoxy-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



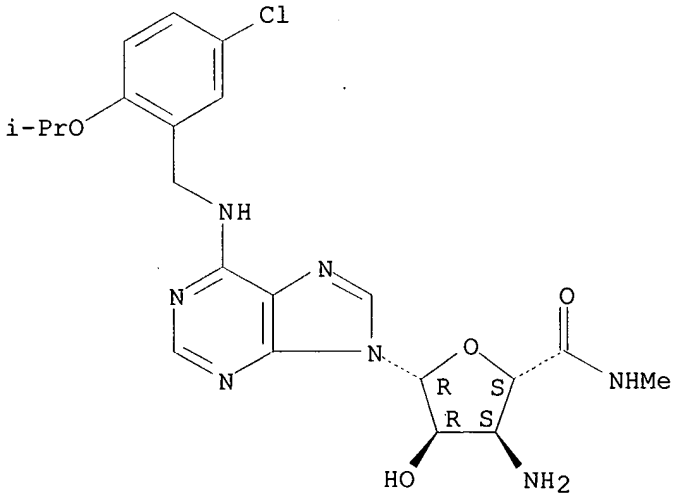
RN 457612-66-7 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1-[6-[[[2-(cyclopentyloxy)phenyl]methyl]amino]-9H-purin-9-yl]-1,3-dideoxy-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



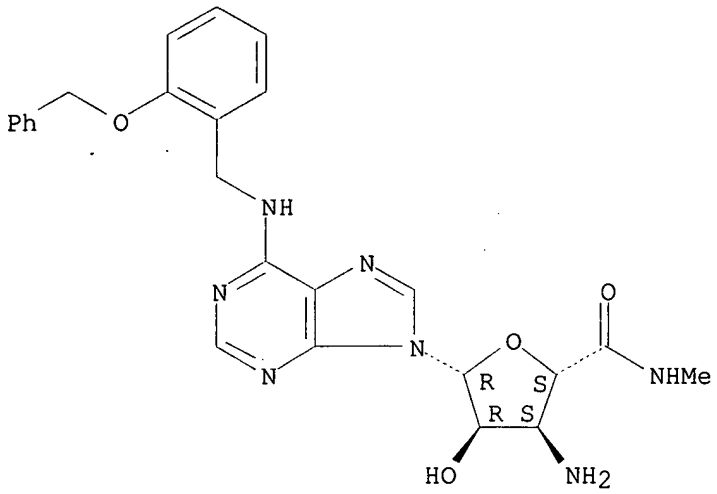
RN 457612-67-8 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1-[6-[[[5-chloro-2-(1-methylethoxy)phenyl]methyl]amino]-9H-purin-9-yl]-1,3-dideoxy-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



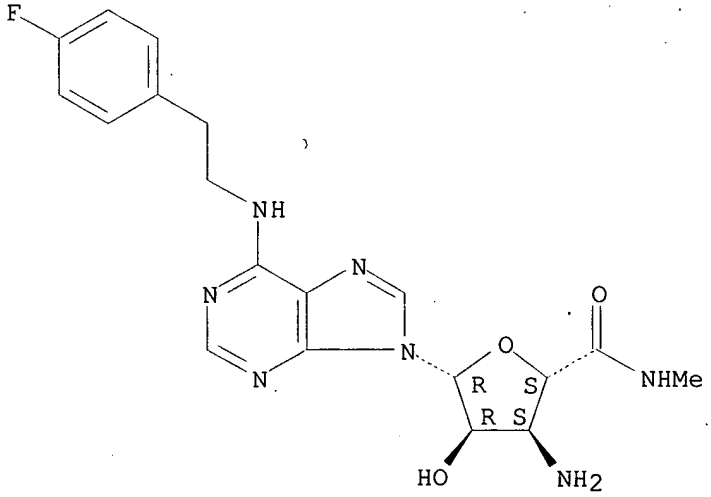
RN 457612-68-9 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1,3-dideoxy-N-methyl-1-[6-[[[2-(phenylmethoxy)phenyl]methyl]amino]-9H-purin-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



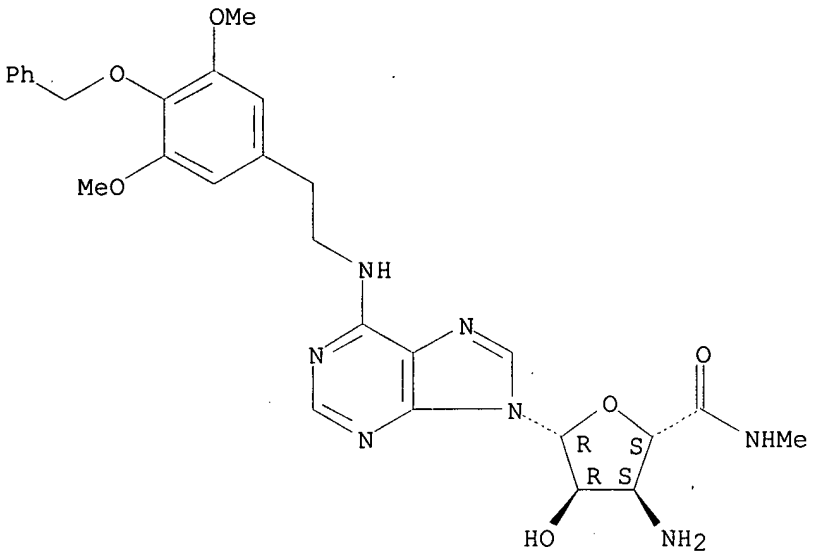
RN 457612-69-0 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1,3-dideoxy-1-[6-[[2-(4-fluorophenyl)ethyl]amino]-9H-purin-9-yl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



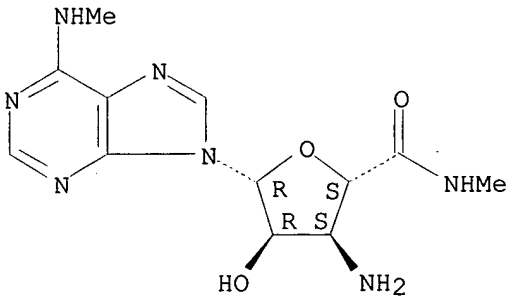
RN 457612-70-3 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1,3-dideoxy-1-[6-[[2-[3,5-dimethoxy-4-(phenylmethoxy)phenyl]ethyl]amino]-9H-purin-9-yl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



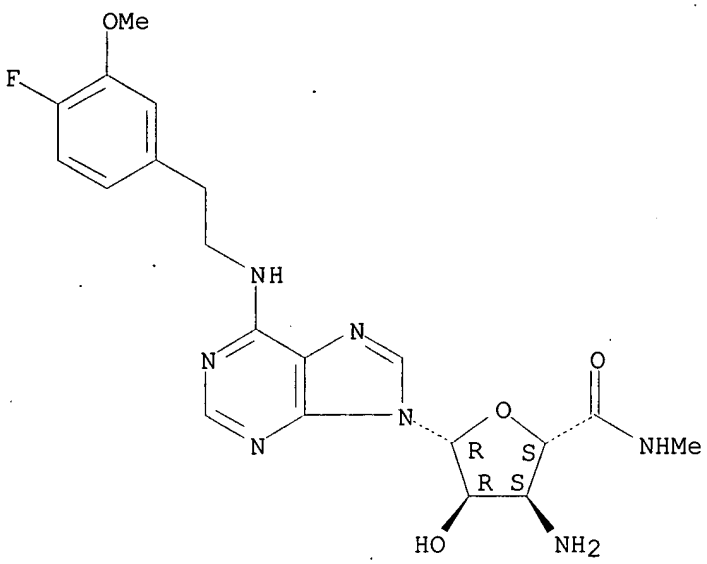
RN 457612-71-4 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1,3-dideoxy-N-methyl-1-[6-(methylamino)-9H-purin-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



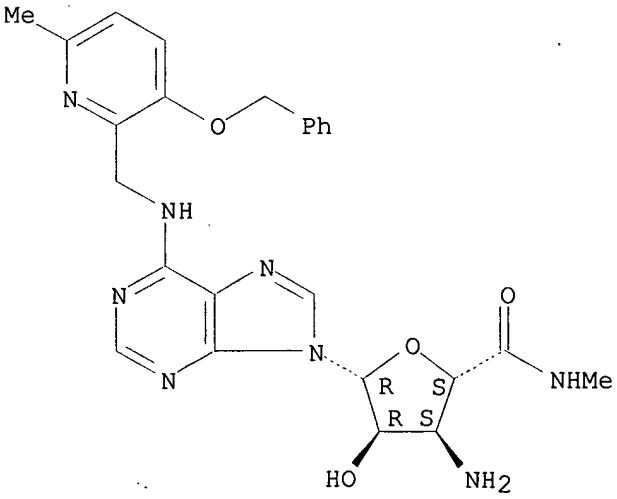
RN 457612-72-5 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1,3-dideoxy-1-[6-[[2-(4-fluoro-3-methoxyphenyl)ethyl]amino]-9H-purin-9-yl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



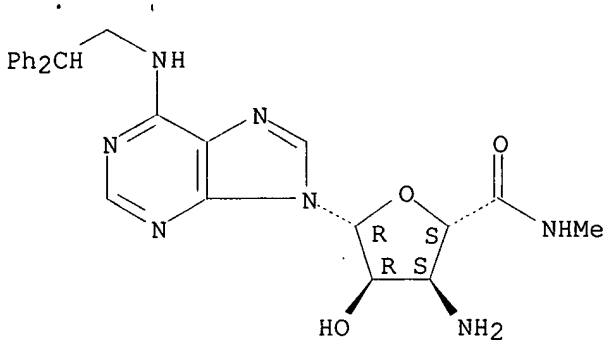
RN 457612-73-6 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1,3-dideoxy-N-methyl-1-[6-[[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]amino]-9H-purin-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



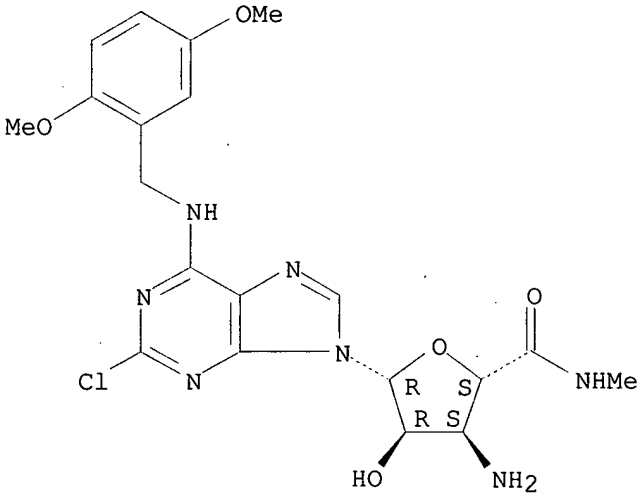
RN 457612-74-7 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1,3-dideoxy-1-[6-[(2,2-diphenylethyl)amino]-9H-purin-9-yl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



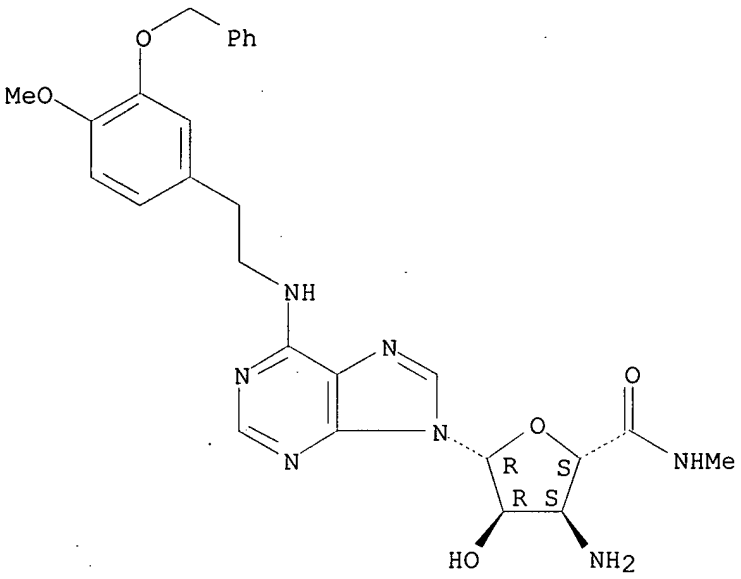
RN 457612-75-8 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1-[2-chloro-6-[[(2,5-dimethoxyphenyl)methyl]amino]-9H-purin-9-yl]-1,3-dideoxy-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



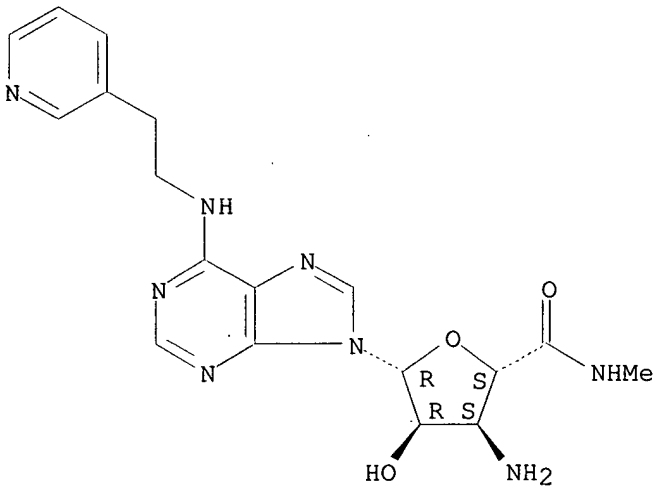
RN 457612-76-9 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1,3-dideoxy-1-[6-[[2-[4-methoxy-3-(phenylmethoxy)phenyl]ethyl]amino]-9H-purin-9-yl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



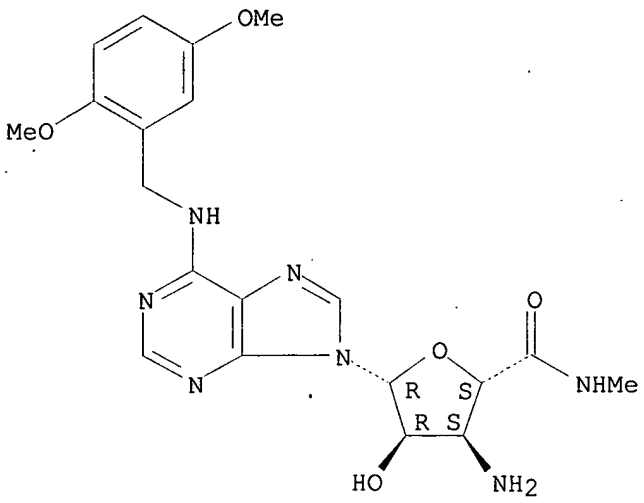
RN 457612-77-0 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1,3-dideoxy-N-methyl-1-[6-[[2-(3-pyridinyl)ethyl]amino]-9H-purin-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



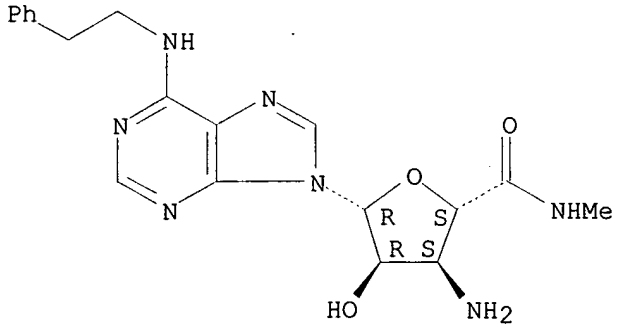
RN 457612-78-1 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1,3-dideoxy-1-[6-[[(2,5-dimethoxyphenyl)methyl]amino]-9H-purin-9-yl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



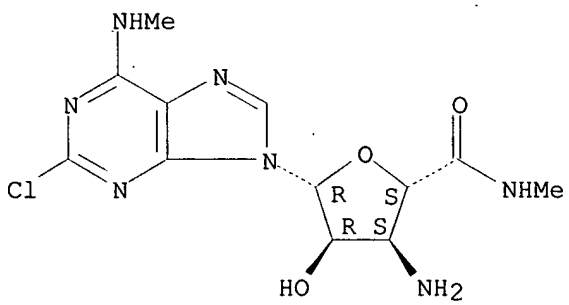
RN 457612-79-2 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1,3-dideoxy-N-methyl-1-[6-[(2-phenylethyl)amino]-9H-purin-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



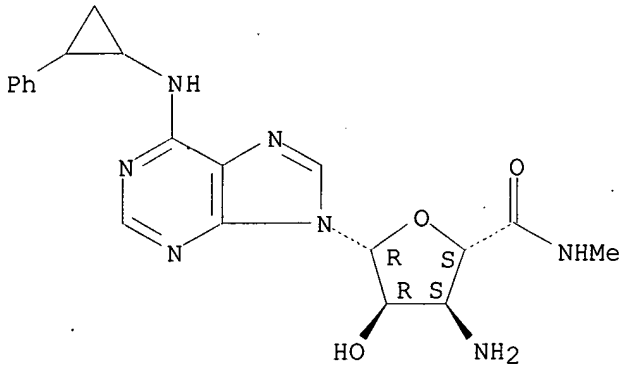
RN 457612-80-5 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1-[2-chloro-6-(methylamino)-9H-purin-9-yl]-1,3-dideoxy-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



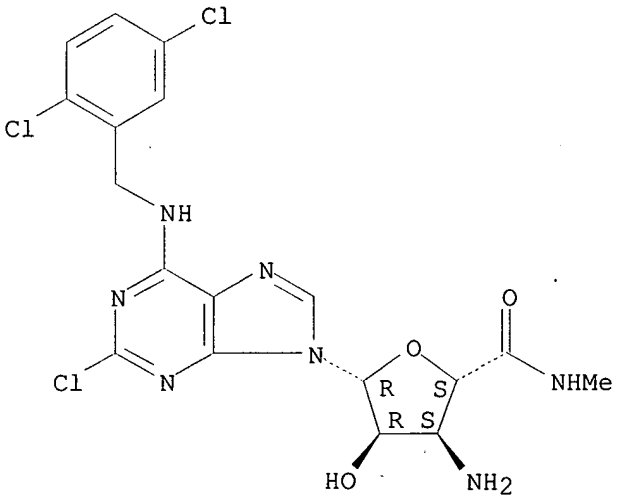
RN . 457612-81-6 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1,3-dideoxy-N-methyl-1-[6-[(2-phenylcyclopropyl)amino]-9H-purin-9-yl]-. (9CI) (CA INDEX NAME)

Absolute stereochemistry.



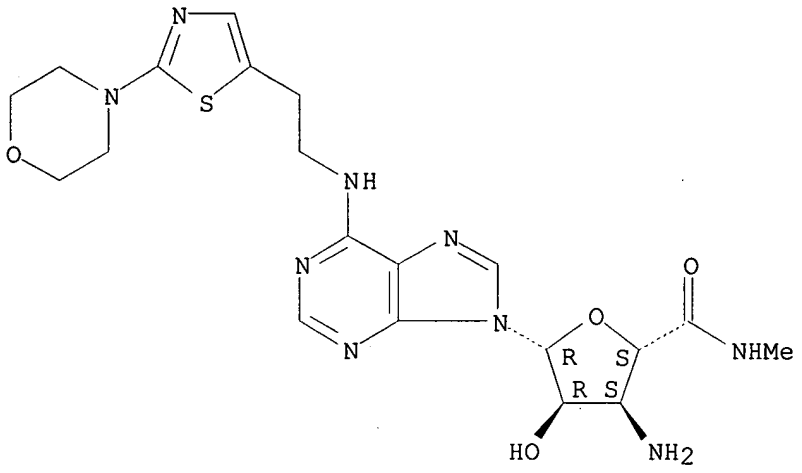
RN 457612-82-7 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1-[2-chloro-6-[[(2,5-dichlorophenyl)methyl]amino]-9H-purin-9-yl]-1,3-dideoxy-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



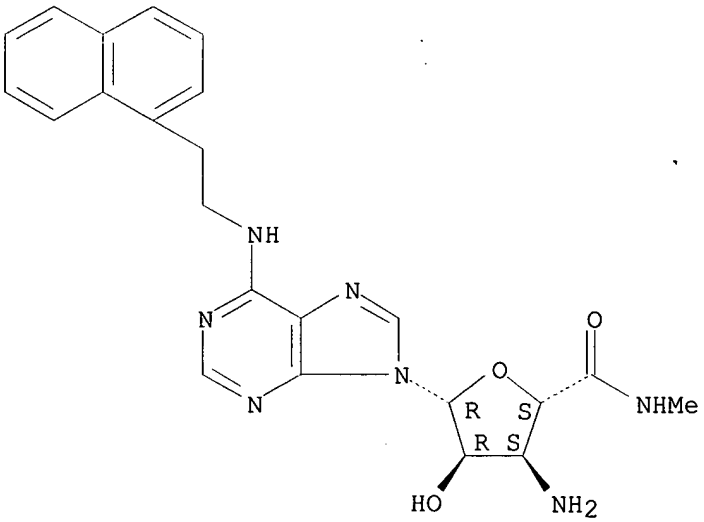
RN 457612-83-8 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1,3-dideoxy-N-methyl-1-[6-[[2-[2-(4-morpholinyl)-5-thiazolyl]ethyl]amino]-9H-purin-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



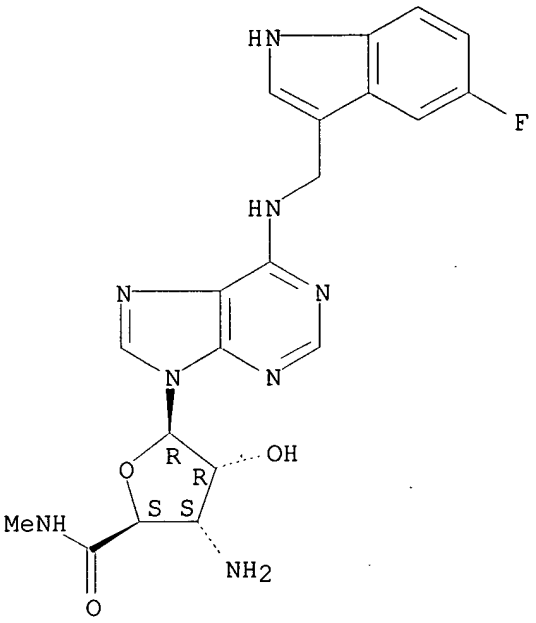
RN 457612-84-9 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1,3-dideoxy-N-methyl-1-[6-[[2-(1-naphthalenyl)ethyl]amino]-9H-purin-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



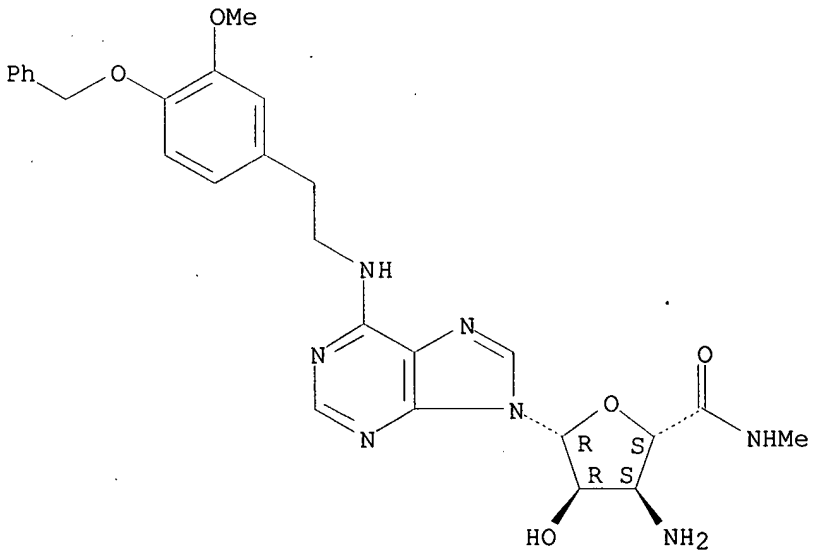
RN 457612-85-0 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1,3-dideoxy-1-[6-[[(5-fluoro-1H-indol-3-yl)methyl]amino]-9H-purin-9-yl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



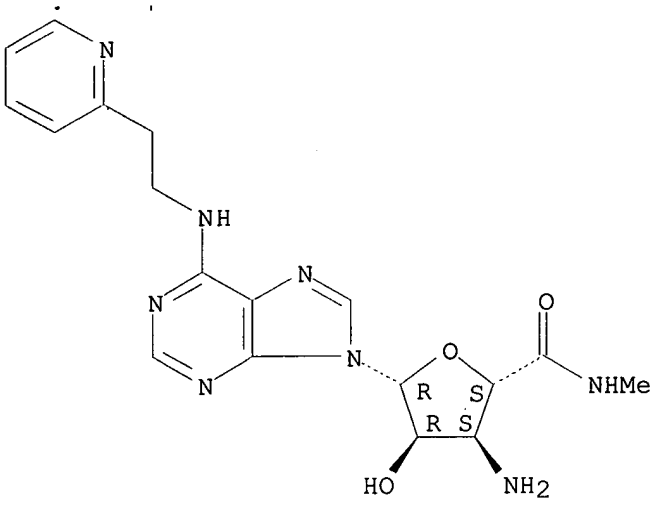
RN 457612-86-1 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1,3-dideoxy-1-[6-[[2-[3-methoxy-4-(phenylmethoxy)phenyl]ethyl]amino]-9H-purin-9-yl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



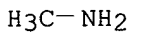
RN 457612-87-2 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-amino-1,3-dideoxy-N-methyl-1-[6-[[2-(2-pyridinyl)ethyl]amino]-9H-purin-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

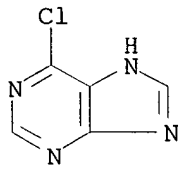


IT **74-89-5**, Methylamine, reactions **87-42-3**, 6-Chloropurine
582-52-5 3600-86-0, 2,5-Dimethoxyphenethylamine
7048-40-0 13589-72-5 74511-44-7,
2-Benzyloxybenzonitrile **457612-47-4 457612-50-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of purine nucleosides as adenosine a receptors for the treatment of ischemia or hypoxia)

RN 74-89-5 HCAPLUS
CN Methanamine (9CI) (CA INDEX NAME)

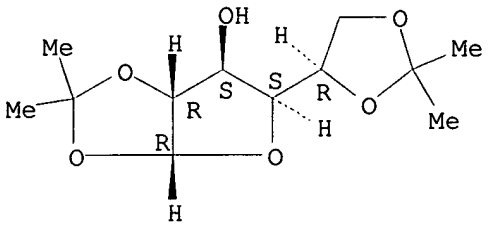


RN 87-42-3 HCAPLUS
CN 1H-Purine, 6-chloro- (9CI) (CA INDEX NAME)

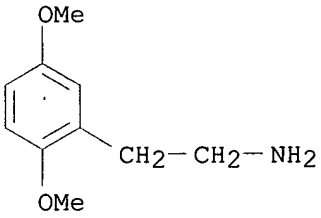


RN 582-52-5 HCAPLUS
CN .alpha.-D-Glucofuranose, 1,2:5,6-bis-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

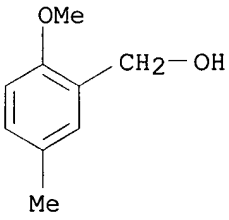
Absolute stereochemistry. Rotation (-).



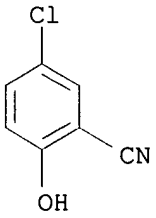
RN 3600-86-0 HCAPLUS
CN Benzeneethanamine, 2,5-dimethoxy- (9CI) (CA INDEX NAME)



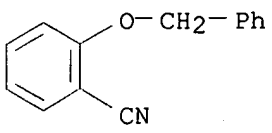
RN 7048-40-0 HCAPLUS
CN Benzenemethanol, 2-methoxy-5-methyl- (9CI) (CA INDEX NAME)



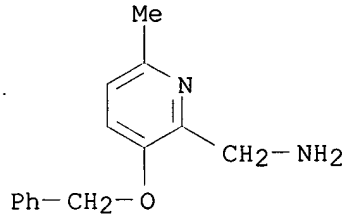
RN 13589-72-5 HCAPLUS
CN Benzonitrile, 5-chloro-2-hydroxy- (9CI) (CA INDEX NAME)



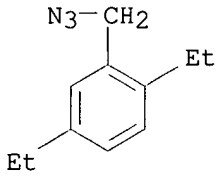
RN 74511-44-7 HCAPLUS
CN Benzonitrile, 2-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 457612-47-4 HCAPLUS
CN 2-Pyridinemethanamine, 6-methyl-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



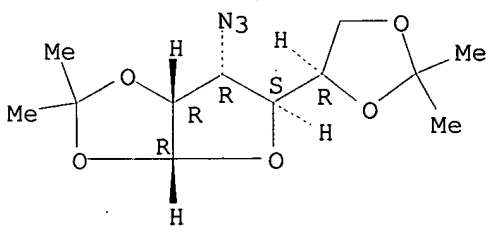
RN 457612-50-9 HCAPLUS
CN Benzene, 2-(azidomethyl)-1,4-diethyl- (9CI) (CA INDEX NAME)



IT **21870-78-0P 76813-80-4P 86945-40-6P**
86945-41-7P 93219-03-5P 331728-66-6P
331728-86-0P 331729-03-4P 331729-04-5P
331729-05-6P 457612-46-3P 457612-48-5P
457612-49-6P 457612-51-0P 457612-52-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of purine nucleosides as adenosine a receptors for the treatment of ischemia or hypoxia)

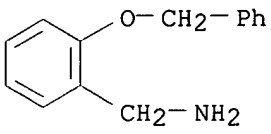
RN 21870-78-0 HCAPLUS
CN .alpha.-D-Allofuranose, 3-azido-3-deoxy-1,2:5,6-bis-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 76813-80-4 HCAPLUS
CN Benzenemethanamine, 2-(phenylmethoxy)-, hydrochloride (9CI) (CA INDEX

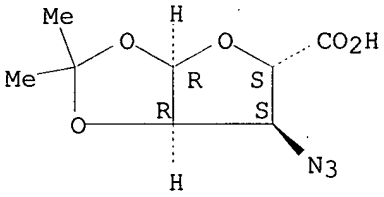
. NAME)



● HCl

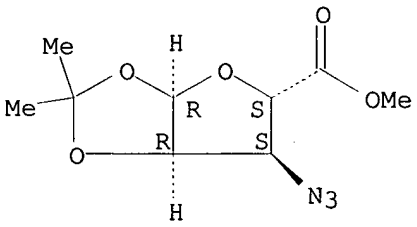
RN 86945-40-6 HCAPLUS
CN .alpha.-D-Ribofuranuronic acid, 3-azido-3-deoxy-1,2-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



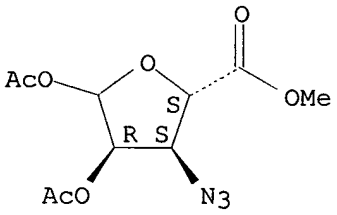
RN 86945-41-7 HCAPLUS
CN .alpha.-D-Ribofuranuronic acid, 3-azido-3-deoxy-1,2-O-(1-methylethylidene)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



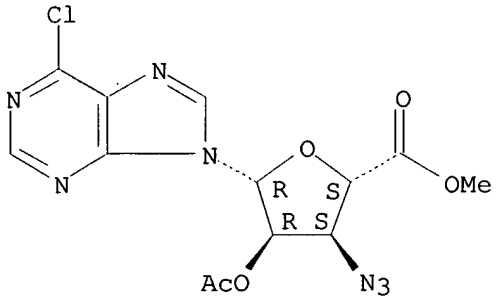
RN 93219-03-5 HCAPLUS
CN D-Ribofuranuronic acid, 3-azido-3-deoxy-, methyl ester, 1,2-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



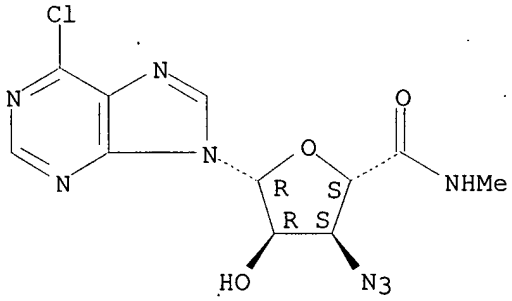
RN 331728-66-6 HCAPLUS
CN .beta.-D-Ribofuranuronic acid, 3-azido-1-(6-chloro-9H-purin-9-yl)-1,3-dideoxy-, methyl ester, 2-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



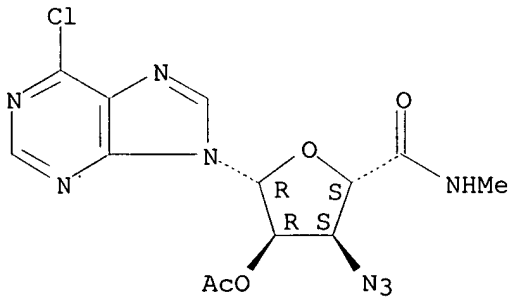
RN 331728-86-0 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-azido-1-(6-chloro-9H-purin-9-yl)-1,3-dideoxy-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 331729-03-4 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-azido-1-(6-chloro-9H-purin-9-yl)-1,3-dideoxy-N-methyl-, 2-acetate (9CI) (CA INDEX NAME)

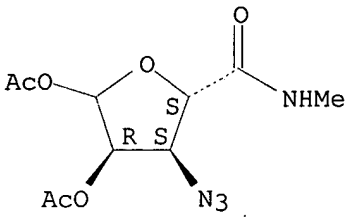
Absolute stereochemistry.



RN 331729-04-5 HCAPLUS
CN D-Ribofuranuronamide, 3-azido-3-deoxy-N-methyl-, 1,2-diacetate (9CI) (CA

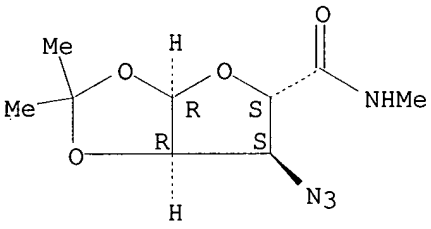
. INDEX NAME)

Absolute stereochemistry.



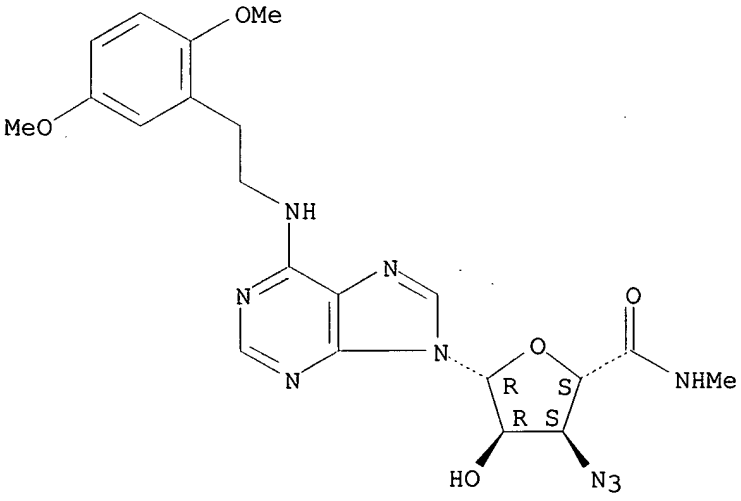
RN 331729-05-6 HCAPLUS
CN .alpha.-D-Ribofuranuronamide, 3-azido-3-deoxy-N-methyl-1,2-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 457612-46-3 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-azido-1,3-dideoxy-1-[6-[[2-(2,5-dimethoxyphenyl)ethyl]amino]-9H-purin-9-yl]-N-methyl- (9CI) (CA INDEX NAME)

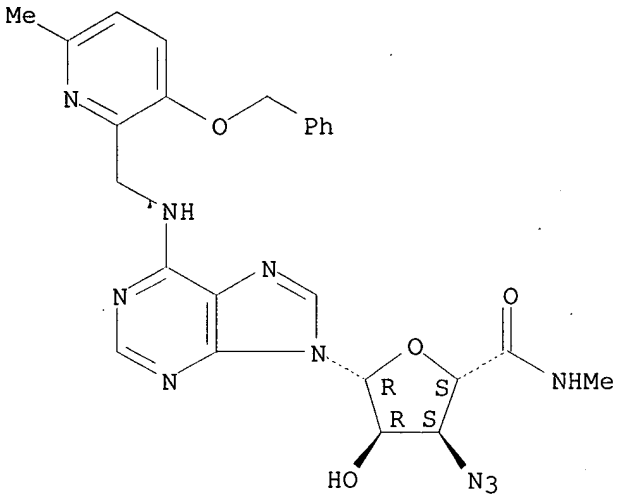
Absolute stereochemistry.



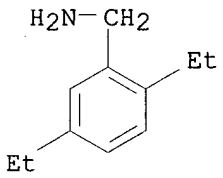
RN 457612-48-5 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-azido-1,3-dideoxy-N-methyl-1-[6-[[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]amino]-9H-purin-9-yl]- (9CI) (CA

INDEX NAME)

Absolute stereochemistry.

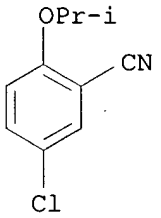


RN 457612-49-6 HCAPLUS
CN Benzenemethanamine, 2,5-diethyl-, hydrochloride (9CI) (CA INDEX NAME)

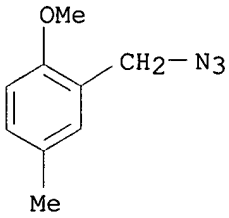


● HCl

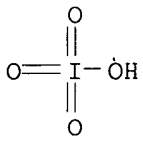
RN 457612-51-0 HCAPLUS
CN Benzonitrile, 5-chloro-2-(1-methylethoxy)- (9CI) (CA INDEX NAME)



RN 457612-52-1 HCAPLUS
CN Benzene, 2-(azidomethyl)-1-methoxy-4-methyl- (9CI) (CA INDEX NAME)



IT **13444-71-8**, Periodic acid
RL: RGT (Reagent); RACT (Reactant or reagent)
(prepn. of purine nucleosides as adenosine a receptors for the treatment of ischemia or hypoxia)
RN 13444-71-8 HCAPLUS
CN Periodic acid (HIO4) (6CI, 8CI, 9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:247348 HCAPLUS
DOCUMENT NUMBER: 134:266520
TITLE: Preparation of nucleosides as adenosine receptors, antidiabetics, enzyme inhibitors, and for the treatment of ischemia
INVENTOR(S): **Masamune, Hiroko; Deninno, Michael Paul**; Scott, Robert William
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 194 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

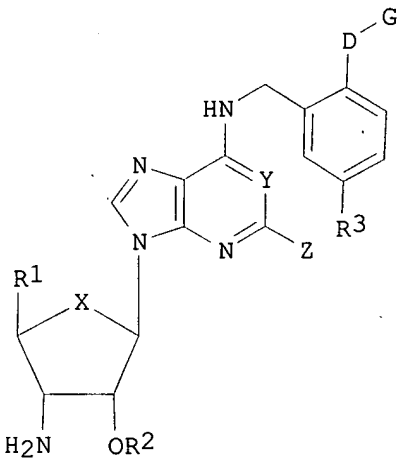
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001023399	A1	20010405	WO 2000-IB1353	20000922
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1216257	A1	20020626	EP 2000-958949	20000922
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				

BR 2000014384	A	20020702	BR 2000-14384	20000922
JP 2003510331	T2	20030318	JP 2001-526549	20000922
EE 200200172	A	20030616	EE 2002-172	20000922
NO 2002001474	A	20020522	NO 2002-1474	20020325
BG 106636	A	20030131	BG 2002-106636	20020423

PRIORITY APPLN. INFO.: US 1999-156828P P 19990930
WO 2000-IB1353 W 20000922

OTHER SOURCE(S): MARPAT 134:266520

GI



I

AB Nucleosides I were prepd. as adenosine receptors, antidiabetics, enzyme inhibitors, and for the treatment of ischemia, wherein X is oxy, methylene or thio; Y is CH or N; Z is H, alkyl, alkyloxy, trifluoromethyl or halo; R1 is hydroxymethyl, alkoxymethyl, cycloalkoxymethyl, carboxy, alkoxycarbonyl, cycloalkoxycarbonyl, 1,1-aminoiminomethyl, 1,1-(mono-N- or di-N,N- alkylamino)iminomethyl, 1,1-(mono-N- or di-N,N-cycloalkylamino)iminomethyl, carbamoyl, mono-N- or di-N,N-alkylaminocarbonyl, mono-N- or di-N,N- cycloalkylaminocarbonyl or N-alkyl-N-cycloalkylaminocarbonyl; R2 is H, alkyl or cycloalkyl; R3 is halo, trifluoromethyl, cyano, alkyl, alkyloxy, ethenyl or ethynyl; D is oxy, thio, NH, alkyloxy, alkylthio or alkylamino; G is a partially satd., fully satd. or fully unsatd. five to eight membered ring optionally having one to three heteroatoms selected independently from oxygen, sulfur and nitrogen, or, a bicyclic ring consisting of two fused partially satd., fully satd. or fully unsatd. three to six membered rings, taken independently, optionally having one to four heteroatoms selected independently from nitrogen, sulfur and oxygen; wherein said G is optionally mono, di- or trisubstituted independently with halo, alkyl, trifluoromethyl, trifluoromethoxy, nitro, cyano, cycloalkyl, hydroxy or alkoxy or G is cyano, alkoxycarbonyl, cycloalkoxycarbonyl, amide, thioamide, alkylamine, cycloalkylamine. A3 agonists, methods of using such A3 agonists and pharmaceutical compns. contg. such A3 agonists. The A3 agonists are useful for the redn. of tissue damage resulting from tissue ischemia or hypoxia. Thus, [1-(8-bromoquinolin-5-yl)-5-cyclopropyl-1H-pyrazole-4-carbonyl]guanidine was prepd. for the treatment of ischemia.

IC ICM C07H019-16
ICS C07D471-04; C07D473-34; A61K031-7076; A61K031-437; A61K031-522; A61P009-10; C07D471-04; C07D235-00; C07D221-00

CC 33 9 (Carbohydrates)
Section cross-reference(s): 1, 7, 63
ST hypoxia formulation nucleoside adenosine receptor antidiabetic enzyme prepn; formulation nucleoside adenosine receptor antidiabetic enzyme inhibitor ischemia prepn; nucleoside adenosine receptor antidiabetic enzyme inhibitor treatment ischemia prepn
IT Adenosine receptors
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(A1; prepn. of nucleosides as adenosine receptors antidiabetics enzyme inhibitors and for the treatment of ischemia)
IT Adenosine receptors
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(A3, human; prepn. of nucleosides as adenosine receptors antidiabetics enzyme inhibitors and for the treatment of ischemia)
IT Antidiabetic agents
Hypoxia, animal
Ischemia
(prepn. of nucleosides as adenosine receptors antidiabetics enzyme inhibitors and for the treatment of ischemia)
IT Nucleosides, preparation
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of nucleosides as adenosine receptors antidiabetics enzyme inhibitors and for the treatment of ischemia)
IT Receptors
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(prepn. of nucleosides as adenosine receptors antidiabetics enzyme inhibitors and for the treatment of ischemia)
IT 331730-00-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of nucleosides as adenosine receptors antidiabetics enzyme inhibitors and for the treatment of ischemia)
IT 241800-98-6P 241801-81-0P 241801-83-2P 241801-85-4P 241801-86-5P
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241802-04-0P 241802-05-1P 241802-06-2P 241802-07-3P 241802-08-4P
241802-09-5P 241802-10-8P 241802-11-9P 241802-12-0P 241802-13-1P
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241802-24-4P 241802-25-5P 241802-26-6P 241802-27-7P 241802-28-8P
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331730-02-0P 331825-98-0P 331825-99-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of nucleosides as adenosine receptors antidiabetics enzyme inhibitors and for the treatment of ischemia)

IT 9001-88-1, Phosphorylase kinase 9028-31-3, Aldose reductase 9035-74-9, Glycogen Phosphorylase
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(prepn. of nucleosides as adenosine receptors antidiabetics enzyme inhibitors and for the treatment of ischemia)

IT **87-42-3**, 6-Chloropurine 345-16-4 **582-52-5** 999-97-3, Hexamethyl disilazane 1761-61-1, 2-Hydroxy-5-bromo benzaldehyde 5625-67-2, Piperazinone 6959-48-4, 3-Picolylchloride hydrochloride **13589-72-5** 14716-89-3, 5-Hydroxymethyl-3-methylisoxazole 18212-21-0 20031-21-4 26386-88-9, Diphenyl phosphoryl azide 30525-89-4, Paraformaldehyde 55877-79-7 331728-59-7 331728-61-1 331728-62-2 331728-84-8 331728-85-9 **331729-03-4** **331729-04-5** 331729-06-7 331729-10-3 331729-14-7 331729-52-3 331729-63-6 331729-64-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of nucleosides as adenosine receptors antidiabetics enzyme inhibitors and for the treatment of ischemia)

IT 20590-53-8P **21870-78-0P** 68997-45-5P **86945-40-6P** **86945-41-7P** **93219-03-5P** 106276-04-4P 121124-94-5P, 2-Benzyloxy-5-bromobenzaldehyde 163008-86-4P 174265-02-2P
177759-46-5P 181473-92-7P 183298-93-3P 199296-60-1P 331728-58-6P
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331728-70-2P 331728-71-3P 331728-72-4P 331728-73-5P 331728-74-6P
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331728-86-0P 331728-87-1P 331728-88-2P 331728-89-3P
331728-90-6P 331728-91-7P 331728-92-8P 331728-93-9P 331728-94-0P
331728-95-1P 331728-96-2P 331728-97-3P 331728-98-4P 331728-99-5P
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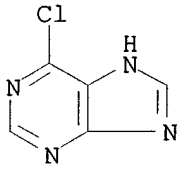
331729-89-6P 331729-90-9P 331729-91-0P 331729-92-1P 331729-93-2P
331729-94-3P 331729-95-4P 331729-96-5P 331729-97-6P 331729-98-7P
331729-99-8P 331730-92-8P 331826-00-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of nucleosides as adenosine receptors antidiabetics enzyme inhibitors and for the treatment of ischemia)

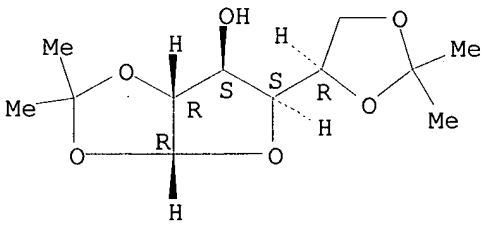
IT **87-42-3**, 6-Chloropurine **582-52-5 13589-72-5 331729-03-4 331729-04-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of nucleosides as adenosine receptors antidiabetics enzyme inhibitors and for the treatment of ischemia)

RN 87-42-3 HCAPLUS
CN 1H-Purine, 6-chloro- (9CI) (CA INDEX NAME)

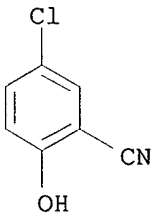


RN 582-52-5 HCAPLUS
CN .alpha.-D-Glucofuranose, 1,2:5,6-bis-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

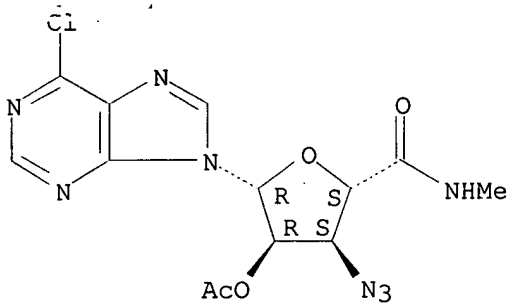


RN 13589-72-5 HCAPLUS
CN Benzonitrile, 5-chloro-2-hydroxy- (9CI) (CA INDEX NAME)



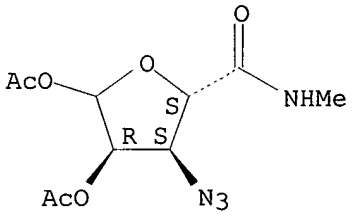
RN 331729-03-4 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-azido-1-(6-chloro-9H-purin-9-yl)-1,3-dideoxy-N-methyl-, 2-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 331729-04-5 HCAPLUS
CN D-Ribofuranuronamide, 3-azido-3-deoxy-N-methyl-, 1,2-diacetate (9CI) (CA INDEX NAME)

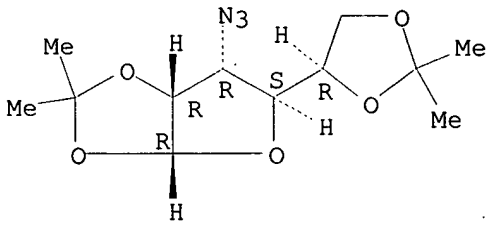
Absolute stereochemistry.



IT **21870-78-0P 86945-40-6P 86945-41-7P**
93219-03-5P 331728-66-6P 331728-86-0P
331729-05-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of nucleosides as adenosine receptors antidiabetics enzyme inhibitors and for the treatment of ischemia)

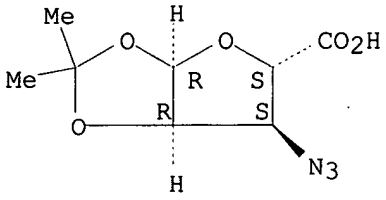
RN 21870-78-0 HCAPLUS
CN .alpha.-D-Allofuranose, 3-azido-3-deoxy-1,2:5,6-bis-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



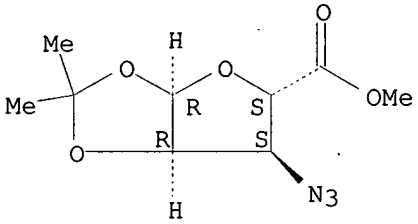
RN 86945-40-6 HCAPLUS
CN .alpha.-D-Ribofuranuronic acid, 3-azido-3-deoxy-1,2-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



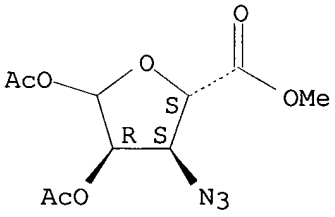
RN 86945-41-7 HCAPLUS
CN .alpha.-D-Ribofuranuronic acid, 3-azido-3-deoxy-1,2-O-(1-methylethylidene)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



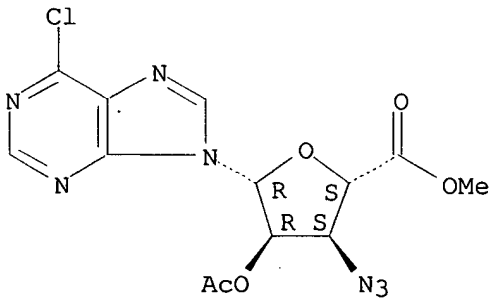
RN 93219-03-5 HCAPLUS
CN D-Ribofuranuronic acid, 3-azido-3-deoxy-, methyl ester, 1,2-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



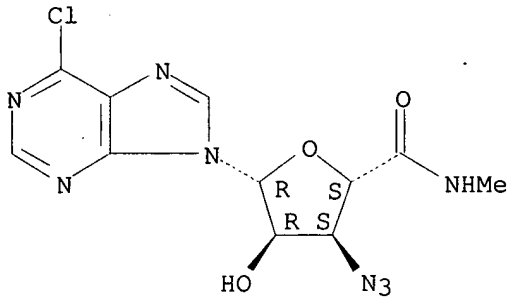
RN 331728-66-6 HCAPLUS
CN .beta.-D-Ribofuranuronic acid, 3-azido-1-(6-chloro-9H-purin-9-yl)-1,3-dideoxy-, methyl ester, 2-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



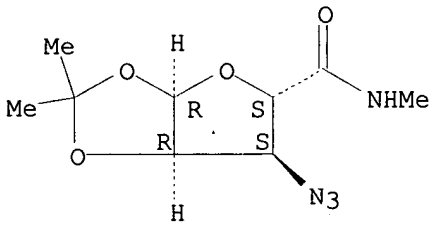
RN 331728-86-0 HCAPLUS
CN .beta.-D-Ribofuranuronamide, 3-azido-1-(6-chloro-9H-purin-9-yl)-1,3-dideoxy-N-methyl-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 331729-05-6 HCAPLUS
CN .alpha.-D-Ribofuranuronamide, 3-azido-3-deoxy-N-methyl-1,2-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT